```
=> s l1
SAMPLE SEARCH INITIATED 17:02:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   4011 TO ITERATE

 24.9% PROCESSED    1000 ITERATIONS                                1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        76424 TO    84016
PROJECTED ANSWERS:               1 TO      200

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:02:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  80442 TO ITERATE

100.0% PROCESSED   80442 ITERATIONS                              106 ANSWERS
SEARCH TIME: 00.00.02

L3          106 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.78

FILE 'CAPLUS' ENTERED AT 17:02:20 ON 18 MAY 2003
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FILE COVERS 1907 - 18 May 2003  VOL 138 ISS 21
FILE LAST UPDATED: 16 May 2003  (20030516/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            25 L3

=> d l4 1-24 bib abs hitstr
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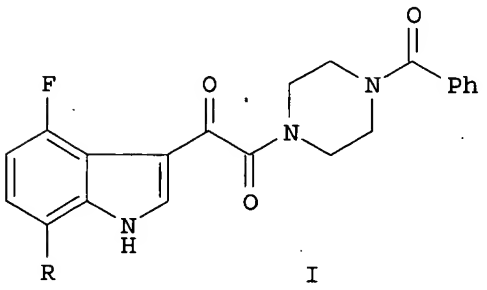
L4 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2003:282118 CAPLUS
DN 138:304300
TI Preparation and antiviral activity of substituted piperazinyloxoacetylindole derivatives
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA USA
SO U.S. Pat. Appl. Publ., 182 pp., Cont.-in-part of U.S. Ser. No. 888,686.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003069245	A1	20030410	US 2001-27612	20011219
PRAI US 2000-217444P	P	20000710		
US 2001-265978P	P	20010202		
US 2001-888686	A2	20010625		

GI



I

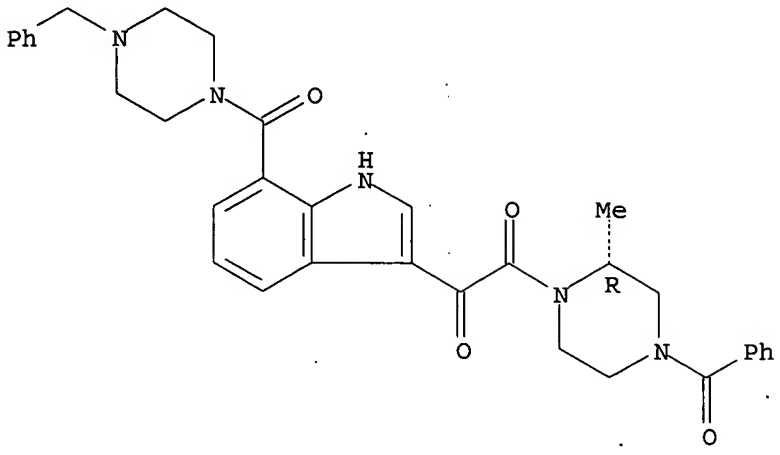
AB Piperazinyloxoacetylindole derivs., e.g. I (R = Ph), were prepd. and tested as human antiviral agents, specifically to be used for treating HIV and AIDS. Thus, bromoindole I (R = Br) (II) reacted with tri-n-butylphenyltin to give I (R = Ph). Furthermore, II was prepd. by reacting 2-bromo-5-fluoronitrobenzene with vinylmagnesium bromide, which gave 4-fluoro-7-bromoindole. The latter compd. was then added to Et chlorooxoacetate to give the acylated adduct which was hydrolyzed to the acid and aminated with N-benzoylpiperazine. Testing of these compds. indicated that they possess unique antiviral activity; and they are proposed to be used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors.

IT **389629-30-5P**, 1-(4-Benzoyl-2-(R)-methylpiperazin-1-yl)-2-[7-(4-benzylpiperazine-1-carbonyl)-1H-indol-3-yl]ethane-1,2-dione
389629-31-6P, 1-[7-(4-Benzoylpiperazine-1-carbonyl)-4-fluoro-1H-indol-3-yl]-2-(4-benzoylpiperazin-1-yl)ethane-1,2-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinyloxoacetylindole derivs. and their use as human antiviral, antiinfective, anti-HIV, anti-AIDS, and immunomodulator agents)

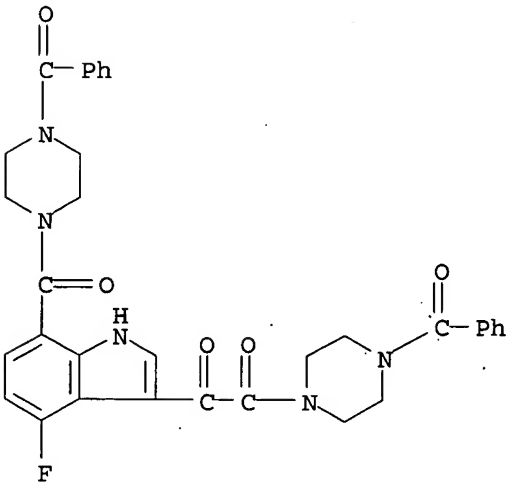
RN 389629-30-5 CAPLUS
CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-

piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



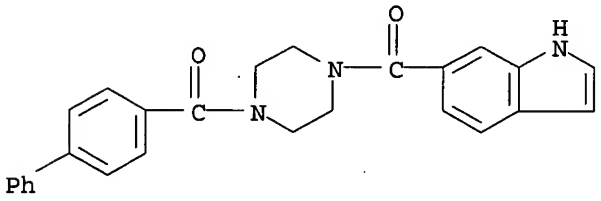
RN 389629-31-6 CAPLUS
CN Piperazine, 1-benzoyl-4-[[7-[(4-benzoyl-1-piperazinyl)carbonyl]-4-fluoro-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:869496 CAPLUS
DN 137:363033
TI Peptidomimetic modulators of cell adhesion
IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian
PA Can.
SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
PRAI	US 2000-491078	A2	20000124		

OS MARPAT 137:363033
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.
IT **351858-51-0**, Piperazine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(1H-indol-6-ylcarbonyl)-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)
RN 351858-51-0 CAPLUS
CN Piperazine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(1H-indol-6-ylcarbonyl)-(9CI) (CA INDEX NAME)

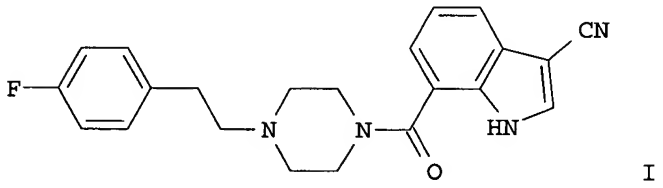


L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:552196 CAPLUS
DN 137:109296
TI Procedure for the production of (3-cyano-1H-indol-7-yl)-[4-(4-fluorophenylethyl)piperazin-1-yl]methanone and its salts
IN Crassier, Helene; Boettcher, Henning; Eckert, Uwe; Bathe, Andreas; Emmert, Steffen
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10102944	A1	20020725	DE 2001-10102944	20010123
WO 2002059092	A1	20020801	WO 2001-EP15240	20011221

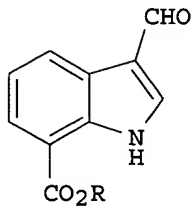
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI DE 2001-10102944 A 20010123
OS CASREACT 137:109296
GI



I

II



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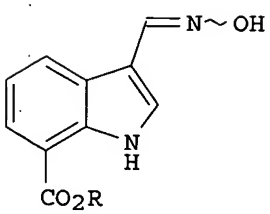

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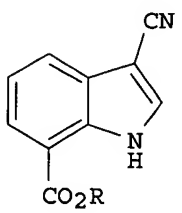


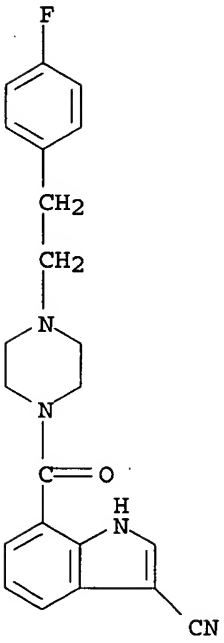
V

AB Title compd. I and its salts were prepd. by (1) formylation of an indolecarboxylic acid ester II (R = C1-6 alkyl, arylalkyl), (2) reacting of the resulting formylindolecarboxylic acid ester III (R as above) with NH2OH to give an oxime deriv. IV (R as above), (3) conversion of the latter to a cyanoindolecarboxylic acid ester V (R as above), (4) sapon. of V to 3-cyano-1H-indole-7-carboxylic acid, (5) reaction of the latter with 1-[2-(4-fluorophenyl)ethyl]piperazine or its salts to give I, and (6) treatment with an acid to give a salt of I. Thus, a formylation mixt. of DMF and POCl3 was treated slowly with 1H-indole-7-carboxylic acid Me ester in DMF at <30.degree. followed by heating at 100.degree. to give 89.9% 3-formyl-1H-indole-7-carboxylic acid Me ester which was heated with NH2OH.HCl in DMF at 125.degree. for 1 h to give 3-(hydroxyiminomethyl)-1H-indole-7-carboxylic acid Me ester. The latter in PhMe was refluxed with SO2Cl2 to give 3-cyano-1H-indole-7-carboxylic acid Me ester which was sapond. in MeOH with NaOH to 3-cyano-1H-indole-7-carboxylic acid. A mixt. of 3-cyano-1H-indole-7-carboxylic acid in N-methylpyrrolidone and N,N-carbonyldiimidazole was stirred for 1 h at room temp. followed by addn. of 1-[2-(4-fluorophenyl)ethyl]piperazine to give I which was treated in AcMe with HCl to give 69% 7-(4-[2-(4-fluorophenyl)ethyl]piperazine-1-carbonyl)-1H-indole-3-carbonitrile hydrochloride. I are 5-HT2A antagonists (no data).

IT **443144-26-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(procedure for the prodn. of (cyanoindolyl)[(fluorophenylethyl)piperazinyl]methanone and its salts)

RN 443144-26-1 CAPLUS

CN Piperazine, 1-[(3-cyano-1H-indol-7-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

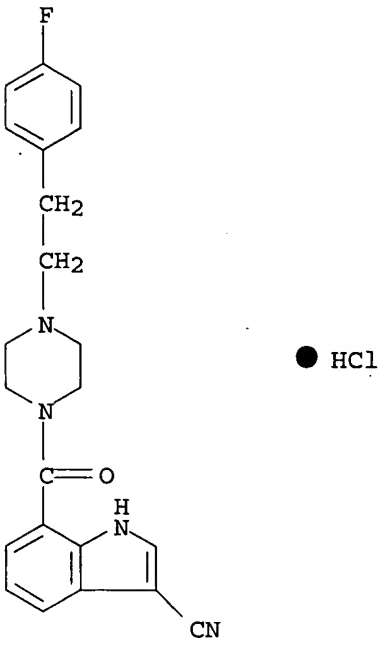


IT **443144-27-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(procedure for the prodn. of (cyanoindolyl)[(fluorophenylethyl)piperazinyl]methanone and its salts)

RN 443144-27-2 CAPLUS

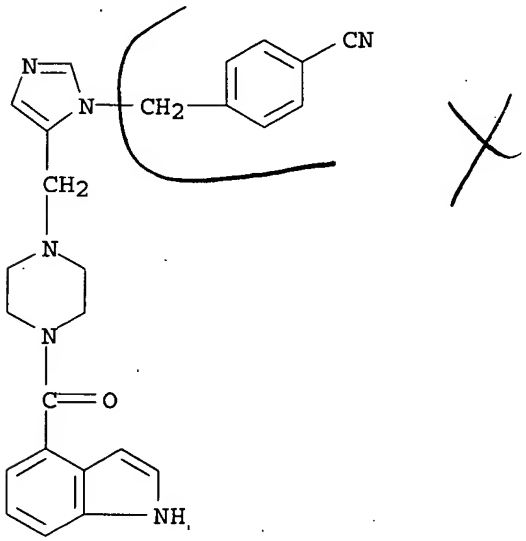
CN Piperazine, 1-[(3-cyano-1H-indol-7-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:450255 CAPLUS
DN 137:17431
TI Geranylgeranyl transferase inhibitor screening assay
IN Eng, Wai-si; Lobell, Robert B.; Lumma, William C.; Smith, Anthony M.
PA USA
SO U.S. Pat. Appl. Publ., 42 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002072081	A1	20020613	US 2001-947903	20010906
PRAI US 2000-230270P	P	20000906		

OS MARPAT 137:17431
AB The invention concerns a GGTase-I competitive binding assay which can be used to det. the relative GGTase-I inhibitory potency of test compds. The present invention is also directed toward radiolabeled geranylgeranyl-protein transferase type-I inhibitor compds. which are useful to label GGTase-I in assays, whether cell-based, tissue-based or in whole animal.
IT **290819-45-3**
RL: ARG (Analytical reagent use); PAC (Pharmacological activity); PRP (Properties); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(geranylgeranyl transferase inhibitor screening assay)
RN 290819-45-3 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(1H-indol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:408665 CAPLUS
DN 136:401784
TI Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxyla tes and -amides as inhibitors of p38-.alpha. kinase
IN Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei
PA Scios Inc., USA
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002042292	A2	20020530	WO 2001-US43441	20011120
	WO 2002042292	A3	20021017		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002026911	A5	20020603	AU 2002-26911	20011120
	US 2003092717	A1	20030515	US 2001-990187	20011120
PRAI	US 2000-252197P	P	20001120		
	WO 2001-US43441	W	20011120		

OS MARPAT 136:401784
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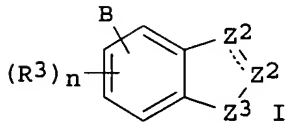

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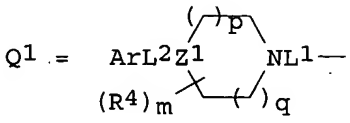
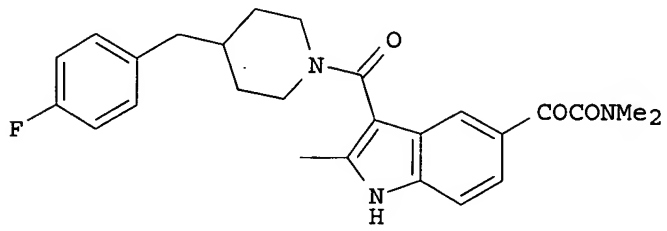




II

AB [Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 .ANG.; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering

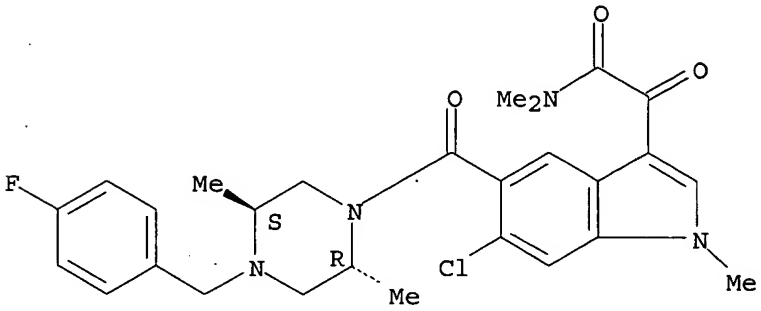
substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-contg. ring = 4.5-24.ANG.], were prepd. as inhibitors of p38-.alpha. kinase (no data). Thus, title compd. (II) was prepd. in several steps starting from 4-nitrophenylglyoxylic acid.

IT **309915-13-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase)

RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

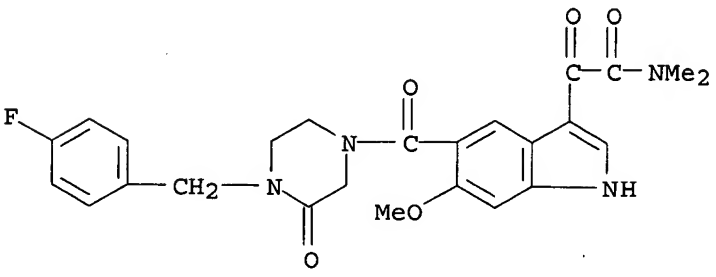
Relative stereochemistry.



IT **309915-14-8 309915-15-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase)

RN 309915-14-8 CAPLUS

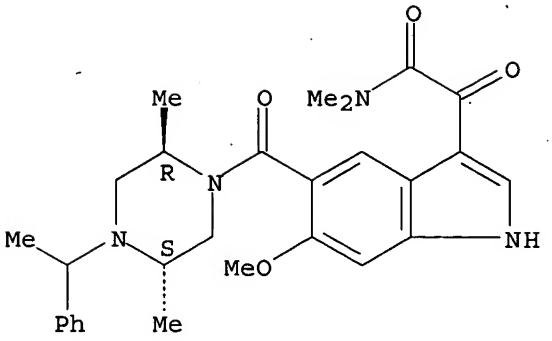
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309915-15-9 CAPLUS

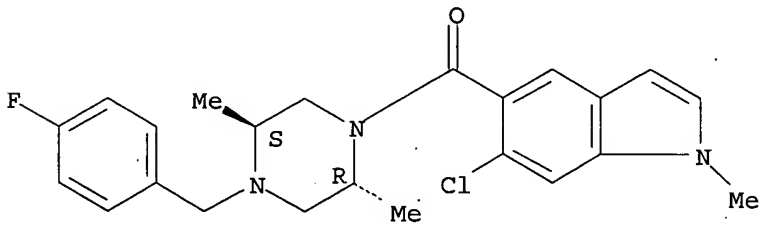
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

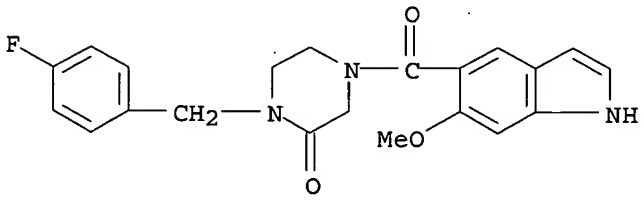


IT **309915-24-0P 309915-38-6P 309915-41-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase)
RN 309915-24-0 CAPLUS
CN Piperazine, 1-[(6-chloro-1-methyl-1H-indol-5-yl)carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

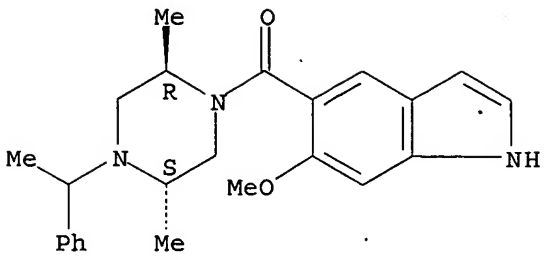


RN 309915-38-6 CAPLUS
CN Piperazinone, 1-[(4-fluorophenyl)methyl]-4-[(6-methoxy-1H-indol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 309915-41-1 CAPLUS
CN Piperazine, 1-[(6-methoxy-1H-indol-5-yl)carbonyl]-2,5-dimethyl-4-(1-phenylethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Me
O
R
N
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N
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NH
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Ph
Me

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:66850 CAPLUS
DN 136:118472
TI Preparation of benzimidazole-5-carboxamides and indole-5-carboxamides to treat cardiac failure and other disorders
IN Mavunkel, Babu J.; Lewicki, John A.; Liu, David Y.; Schreiner, George F.; Perumattam, John J.
PA Scios, Inc., USA
SO U.S., 27 pp., Cont.-in-part of U.S. 6,130,235.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6340685	B1	20020122	US 1999-275176	19990324
	US 6130235	A	20001010	US 1998-128137	19980803
	WO 9961426	A1	19991202	WO 1999-US11222	19990521
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9940920	A1	19991213	AU 1999-40920	19990521
	BR 9911069	A	20010206	BR 1999-11069	19990521
	EP 1080078	A1	20010307	EP 1999-924412	19990521
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002516314	T2	20020604	JP 2000-550832	19990521
	WO 2000059904	A2	20001012	WO 2000-US7934	20000324
	WO 2000059904	A3	20010111		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6448257	B1	20020910	US 2000-535316	20000324
	NO 2000005881	A	20010109	NO 2000-5881	20001121
	US 2003073699	A1	20030417	US 2002-76131	20020213
PRAI	US 1998-86531P	P	19980522		
	US 1998-128137	A2	19980803		
	US 1999-275176	A	19990324		
	US 1999-316761	A	19990521		
	WO 1999-US11222	W	19990521		

OS MARPAT 136:118472
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-IV; Z1, Z2 = CR4, N; R4 = H, (un)substituted alkyl

optionally including one or more heteroatoms selected from O, S and N; or two R4 taken together form a bridge optionally contg. a heteroatom; R1 = V (wherein X1 = CO or an isostere thereof; m = 0-1; Y = (un)substituted alkyl, aryl, arylalkyl or two Y taken together may form an alkylene bridge; n = 0-2; Z3 = CH, N; X2 = CH, CH2 or an isostere thereof; Ar consists of one or two (un)substituted Ph moieties directly coupled to X2); R2 = H, (un)substituted alkyl optionally including one heteroatom which is O, S or N; R3 = H, halo, NO2, etc.], useful in treating inflammation, were prepd. Thus, amidation of benzimidazole-5-carboxylic acid with tert-Bu 1-piperazinecarboxylate (86%) followed by deprotection (100%), and reaction of the resulting amide with 2,6-difluorobenzyl bromide afforded VI. Compds. I were found to inhibit p38 kinase, in particular, p38 kinase .alpha. (data given) and are thus useful in treating diseases mediated by this enzyme.

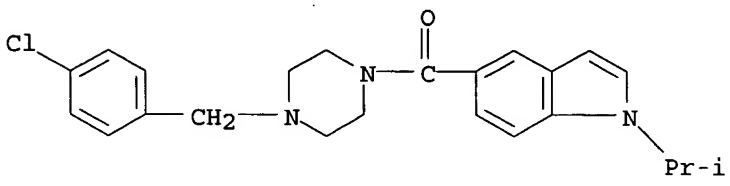
IT **251106-48-6P 251106-62-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole-5-carboxamides and indole-5-carboxamides to treat cardiac failure and other disorders)

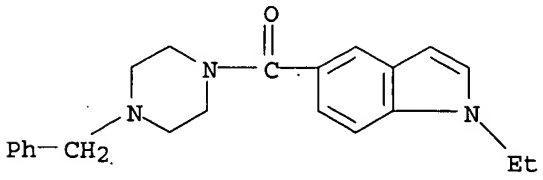
RN 251106-48-6 CAPLUS

CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-[[1-(1-methylethyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 251106-62-4 CAPLUS

CN Piperazine, 1-[(1-ethyl-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



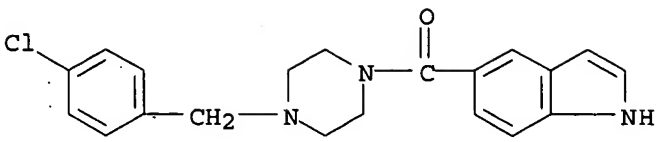
IT **251107-28-5**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of benzimidazole-5-carboxamides and indole-5-carboxamides to treat cardiac failure and other disorders)

RN 251107-28-5 CAPLUS

CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



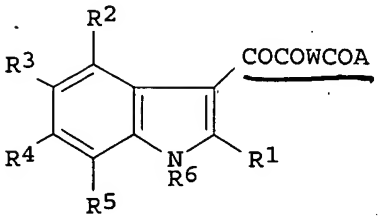
homolog

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2002:51452 CAPLUS
DN 136:118470
TI Preparation of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002004440	A1	20020117	WO 2001-US20300	20010626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299382	A1	20030409	EP 2001-946715	20010626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI US 2000-217444P	P	20000710		
US 2001-265978P	P	20010202		
WO 2001-US20300	W	20010626		

OS MARPAT 136:118470
GI



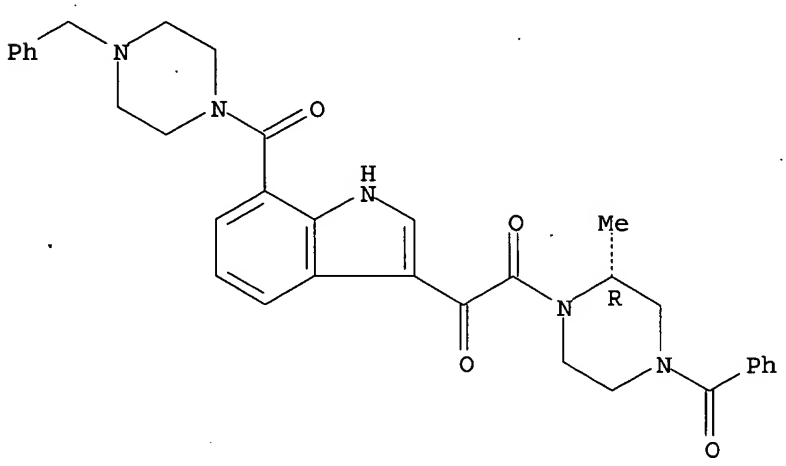
I

AB Indoleoxoacetylpiperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepd. for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give 4-fluoro-7-bromoindole, which was treated with ClCOCO2Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This acid was amidated with N-benzoylpiperazine and treated with PhSnBu3 to give I [A = R5 = Ph, W = piperazino, R1, R3, R4, R6 = H, R2 = F]. This compd. gave >98% inhibition of HIV-1 infection in HeLa cells.
IT **389629-30-5P 389629-31-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

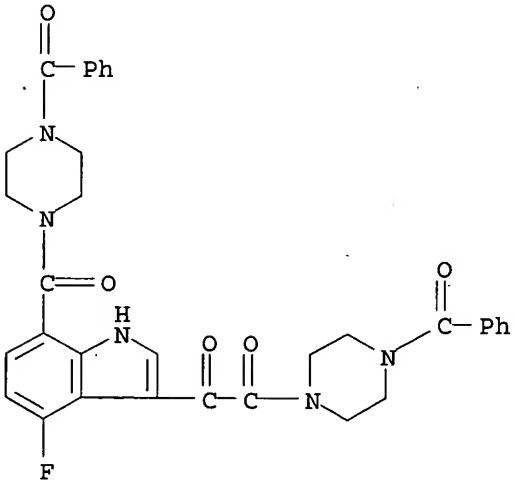
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1)

RN 389629-30-5 CAPLUS
CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389629-31-6 CAPLUS
CN Piperazine, 1-benzoyl-4-[[7-[(4-benzoyl-1-piperazinyl)carbonyl]-4-fluoro-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

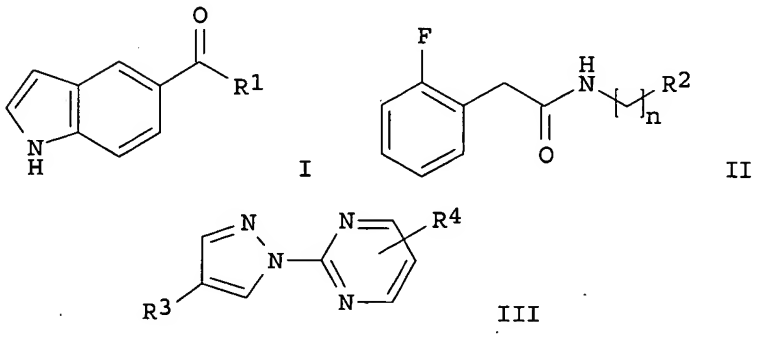


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:581832 CAPLUS
DN 135:166842
TI Preparation of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and 2-(pyrazol-1-yl)pyrimidines as InhA inhibitors
IN Staveski, Mark M.; Sneddon, Scott F.; Yee, Christopher; Janjigian, Andrew
PA Genzyme Corporation, USA
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001056974	A2	20010809	WO 2001-US40045	20010206
	WO 2001056974	A3	20020718		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6372752	B1	20020416	US 2000-499183	20000207
PRAI	US 2000-499183	A1	20000207		

OS MARPAT 135:166842
GI



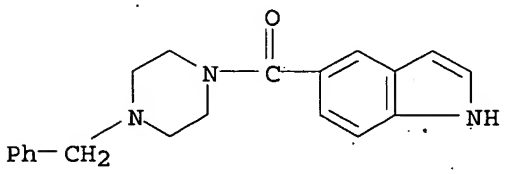
I II III

AB The title compds. [I-III, etc.; R1 = (un)substituted heteroaryl, piperazinyl, piperidinyl, etc.; R2 = OH, (un)substituted aryl, cycloalkyl, etc.; n = 1-2; R3 = (un)substituted Ph, heteroaryl; R4 = H, halo, alkyl, etc.] which inhibit the Mycobacterial enoyl-ACP reductase required for cell wall biosynthesis, and are useful for treating a bacterial infection in a patient, were prepd. Thus, reacting 2-fluorophenylacetic acid with 4-chlorophenethylamine in the presence of DMAP and EDCI in CH2Cl2 afforded II [R2 = 4-ClC6H4; n = 2] which showed 82% InhA inhibition at 40 .mu.M.

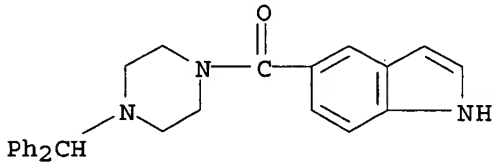
IT **353522-46-0P 353522-48-2P 353522-54-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and 2-(pyrazol-1-yl)pyrimidines as InhA inhibitors)

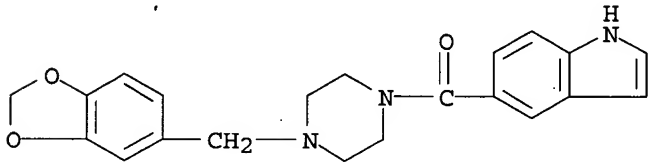
RN 353522-46-0 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 353522-48-2 CAPLUS
CN Piperazine, 1-(diphenylmethyl)-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 353522-54-0 CAPLUS
CN Piperazine, 1-(1,3-benzodioxol-5-ylmethyl)-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)

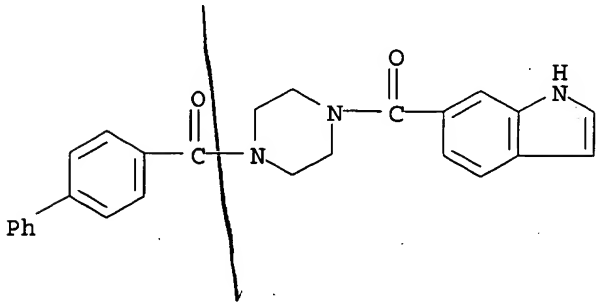


L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:545724 CAPLUS
DN 135:147398
TI Peptidomimetic modulators of cell adhesion
IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian
PA Adherex Technologies, Inc., Can.
SO PCT Int. Appl., 416 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		
	WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-491078 A 20000124
OS MARPAT 135:147398
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.
IT **351858-51-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(peptidomimetic modulators of cell adhesion)
RN 351858-51-0 CAPLUS
CN Piperazine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(1H-indol-6-ylcarbonyl)- (9CI) (CA INDEX NAME)

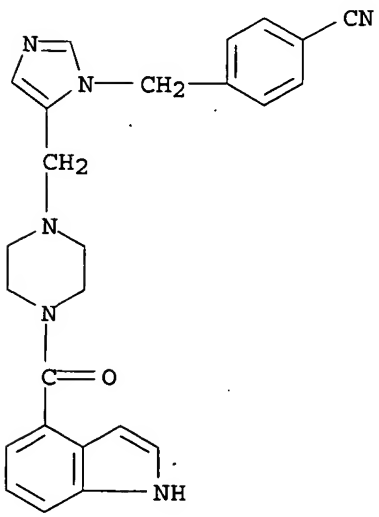


L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:247178 CAPLUS
DN 134:275776
TI Method using a geranylgeranyl-protein transferase inhibitor for preventing osteoporosis, pharmaceutical compositions, and compound preparation
IN Reszka, Alfred A.; Rodan, Gideon A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022963	A1	20010405	WO 2000-US26357	20000925

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-156234P P 19990927
OS MARPAT 134:275776
AB A method for preventing or inhibiting bone resorption in a mammal comprises administering to a mammal in need thereof a therapeutically effective amt. of an inhibitor of geranylgeranyl-protein transferase type I.
IT **290819-45-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(geranylgeranyl-protein transferase inhibitor for preventing bone resorption, pharmaceutical compns., and compd. prepn.)
RN 290819-45-3 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(1H-indol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:78383 CAPLUS
DN 134:163059
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000013179	A	20020402	BR 2000-13179	20000726
	EP 1208097	A2	20020529	EP 2000-951781	20000726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003508353	T2	20030304	JP 2001-512520	20000726
	NO 2002000214	A	20020402	NO 2002-214	20020115
	BG 106340	A	20021031	BG 2002-106340	20020122
PRAI	US 1999-363196	A	19990728		
	WO 2000-IB1156	W	20000726		

OS MARPAT 134:163059
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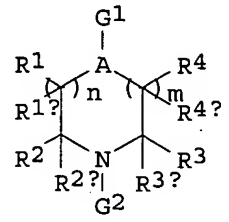

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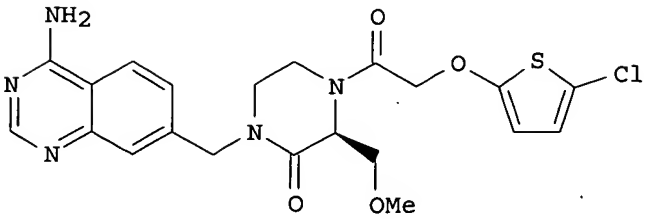
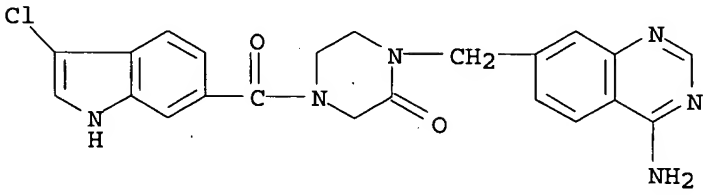


II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the prodn. of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone deriv. (prepns. given), using DIPEA and TBTU in DMF, gave II.

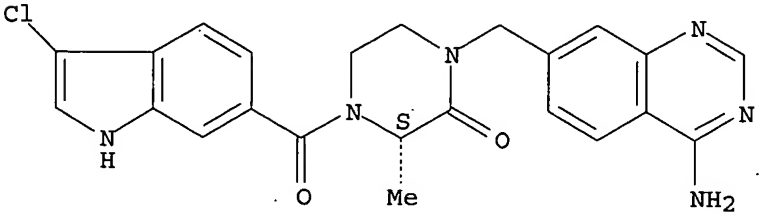
IT **234102-35-3P 234102-92-2P 234103-21-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 234102-35-3 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



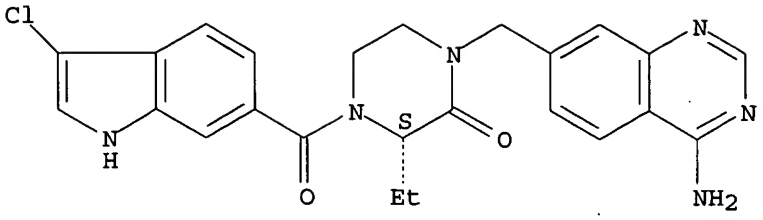
RN 234102-92-2 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 234103-21-0 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-ethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Cl
N
H
O
N
S
Et
O
N
N
N
NH2

L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:78382 CAPLUS
DN 134:131549
TI Preparation of piperazinyl indolyl methanones as 5-HT2A receptor antagonists.
IN Bottcher, Henning; Marz, Joachim; Greiner, Hartmut; Harting, Jurgen; Bartoszyk, Gerd; Seyfried, Christoph; Van Amsterdam, Christoph
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007434	A2	20010201	WO 2000-EP6463	20000707
	WO 2001007434	A3	20020516		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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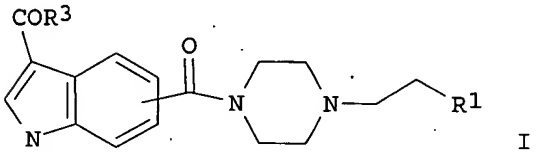
	BR 2000012575	A	20020416	BR 2000-12575	20000707
	EP 1228041	A2	20020807	EP 2000-954447	20000707
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003505457	T2	20030212	JP 2001-512518	20000707
	NO 2002000306	A	20020321	NO 2002-306	20020121
PRAI	DE 1999-19934432	A	19990722		
	WO 2000-EP6463	W	20000707		

OS MARPAT 134:131549
GI

10/031566

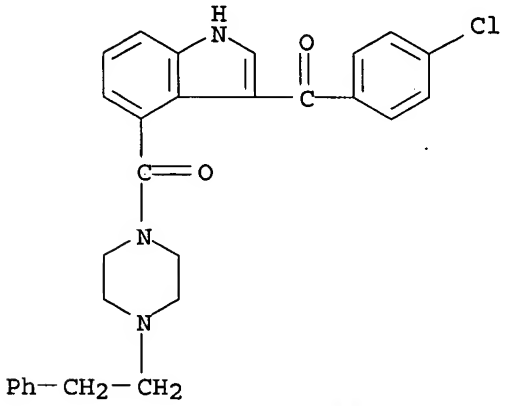


I

AB Title compds. [I; R1, R3 = (substituted) Ph, unsatd. heterocyclyl], were prepd. as 5-HT2A receptor antagonists (no data). Thus, 4-carboxy-3-(4-chlorobenzoyl)indole, 2-chloro-1-methylpyridinium iodide, N-methylpyrrolidine, N-phenethylpiperazine, and EtN(CHMe)2 were stirred together for 3 h to give [3-(4-chlorobenzoyl)-1H-indol-4-yl]-(4-phenethylpiperazin-1-yl)methanone hydrochloride. I are potent 5-HT2A antagonists and are suitable for the treatment of psychosis, schizophrenia, depression, neurol. disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders, e.g. nervous bulimia and anorexia, and premenstrual syndrome and/or for pos. influencing compulsive behaviors (obsessive-compulsive disorder, OCD).

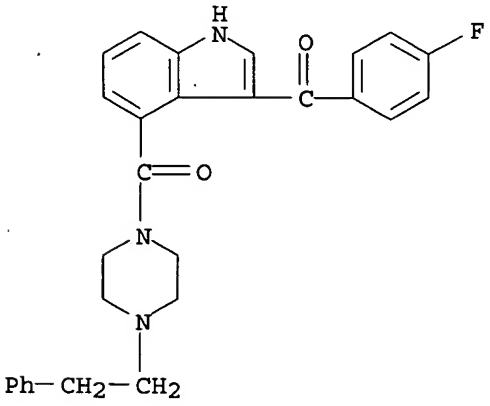
IT **321913-31-9P 321913-32-0P 321913-33-1P**
321913-34-2P 321913-35-3P 321913-36-4P
321913-37-5P 321913-38-6P 321913-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinyl indolyl methanones as 5-HT2A receptor antagonists)

RN 321913-31-9 CAPLUS
CN Piperazine, 1-[[3-(4-chlorobenzoyl)-1H-indol-4-yl]carbonyl]-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

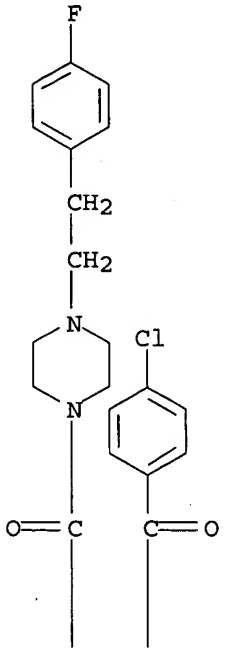
RN 321913-32-0 CAPLUS
CN Piperazine, 1-[[3-(4-fluorobenzoyl)-1H-indol-4-yl]carbonyl]-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



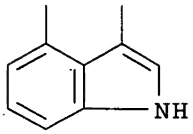
● HCl

RN 321913-33-1 CAPLUS
CN Piperazine, 1-[[3-(4-chlorobenzoyl)-1H-indol-4-yl]carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

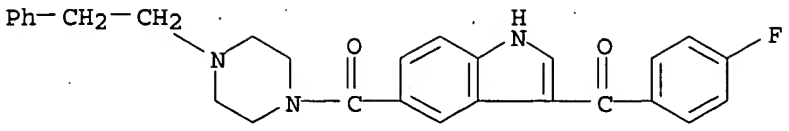


PAGE 2-A



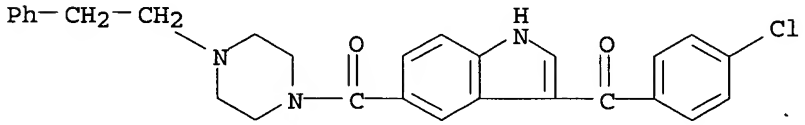
● HCl

RN 321913-34-2 CAPLUS
CN Piperazine, 1-[[3-(4-fluorobenzoyl)-1H-indol-5-yl]carbonyl]-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

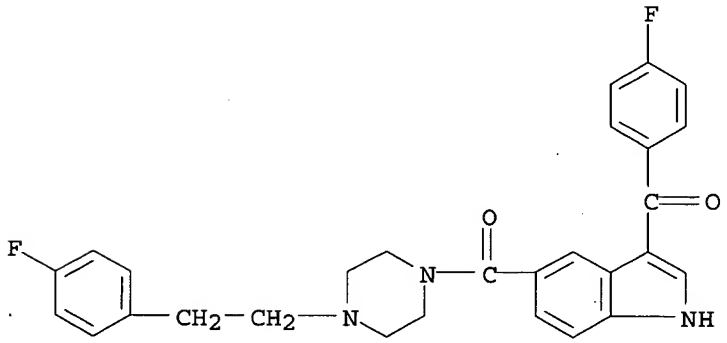


● HCl

RN 321913-35-3 CAPLUS
CN Piperazine, 1-[[3-(4-chlorobenzoyl)-1H-indol-5-yl]carbonyl]-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

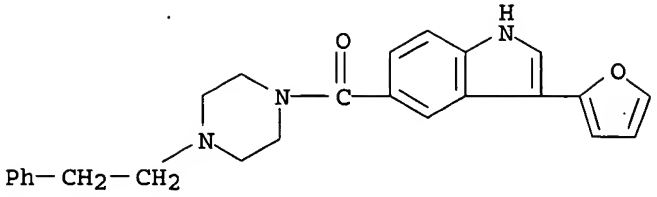


RN 321913-36-4 CAPLUS
CN Piperazine, 1-[[3-(4-fluorobenzoyl)-1H-indol-5-yl]carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



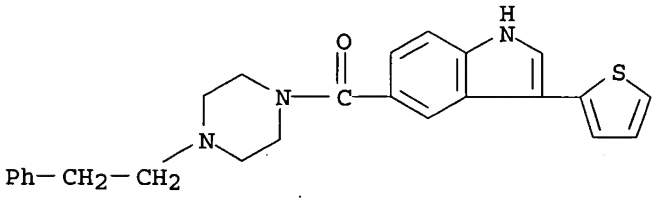
● HCl

RN 321913-37-5 CAPLUS
CN Piperazine, 1-[[3-(2-furanyl)-1H-indol-5-yl]carbonyl]-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



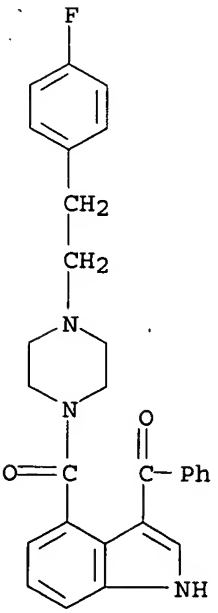
● HCl

RN 321913-38-6 CAPLUS
CN Piperazine, 1-(2-phenylethyl)-4-[[3-(2-thienyl)-1H-indol-5-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

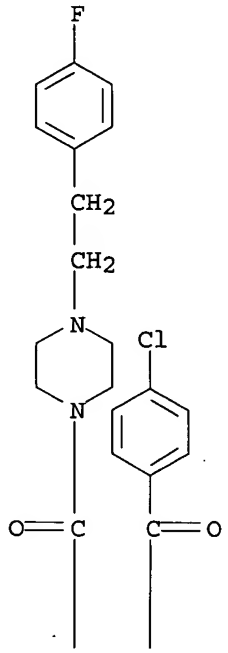
RN 321913-39-7 CAPLUS
CN Piperazine, 1-[(3-benzoyl-1H-indol-4-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **321913-41-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperazinyl indolyl methanones as 5-HT2A receptor antagonists)
RN 321913-41-1 CAPLUS
CN Piperazine, 1-[[3-(4-chlorobenzoyl)-1H-indol-4-yl]carbonyl]-4-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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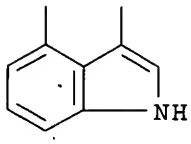

L4 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2001:62284 CAPLUS
DN 134:115969
TI Preparation of indolcarbonylpiperazines as 5-HT2A receptor antagonists.
IN Boettcher, Henning; Greiner, Hartmut; Harting, Juergen; Bartoszyk, Gerd; Seyfried, Christoph; Amsterdam, Christoph
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19934433	A1	20010125	DE 1999-19934433	19990722
	WO 2001007435	A2	20010201	WO 2000-EP6464	20000707
	WO 2001007435	A3	20010816		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000012607	A	20020409	BR 2000-12607	20000707
	EP 1198453	A2	20020424	EP 2000-949288	20000707
	EP 1198453	B1	20030409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003505458	T2	20030212	JP 2001-512519	20000707
	AT 236877	E	20030415	AT 2000-949288	20000707
	NO 2002000307	A	20020321	NO 2002-307	20020121
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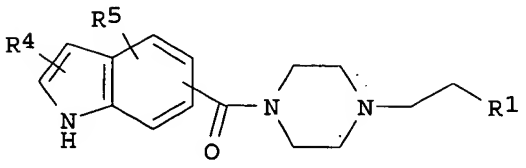
OS MARPAT 134:115969
GI

Apps PCT

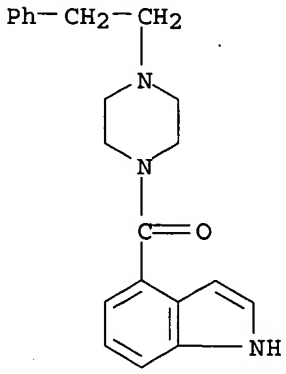


I

AB Title compds. [I; R1 = (substituted) Ph; R4, R5 = H, cyano, acyl, halo, alkyl, OH; R4R5 = C3-5 alkylene], were prepd. as 5-HT2A receptor antagonists (no data). Thus, 4-carboxyindole, 2-chloro-1-methylpyridinium iodide, N-phenethylpiperazine, ethyldiisopropylamine, and N-methylpyrrolidine were stirred together for 3 h to give (1H-indol-4-yl)-4-(phenethylpiperazin-1-yl)methanone hydrochloride.
IT **320714-85-0P 320714-86-1P 320714-88-3P**
320714-89-4P 320714-93-0P 320714-94-1P
320714-96-3P 320714-97-4P 320714-98-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

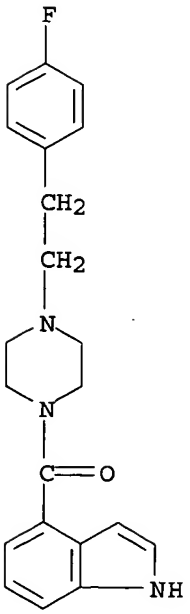
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolcarbonylpiperazines as 5-HT2A receptor antagonists)

RN 320714-85-0 CAPLUS
CN Piperazine, 1-(1H-indol-4-ylcarbonyl)-4-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



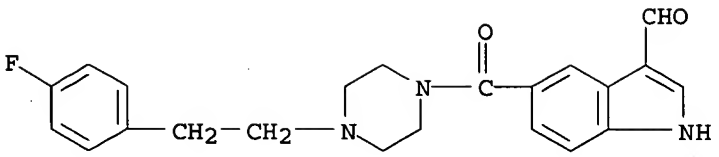
●x HCl

RN 320714-86-1 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(1H-indol-4-ylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)



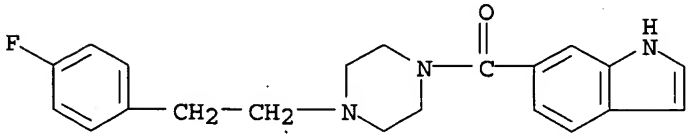
●x HCl

RN 320714-88-3 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-[(3-formyl-1H-indol-5-yl)carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)



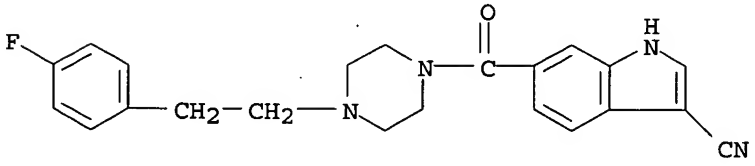
●x HCl

RN 320714-89-4 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(1H-indol-6-ylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)



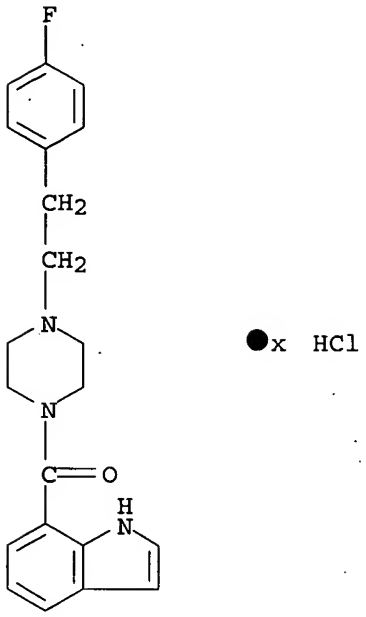
●x HCl

RN 320714-93-0 CAPLUS
CN Piperazine, 1-[(3-cyano-1H-indol-6-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

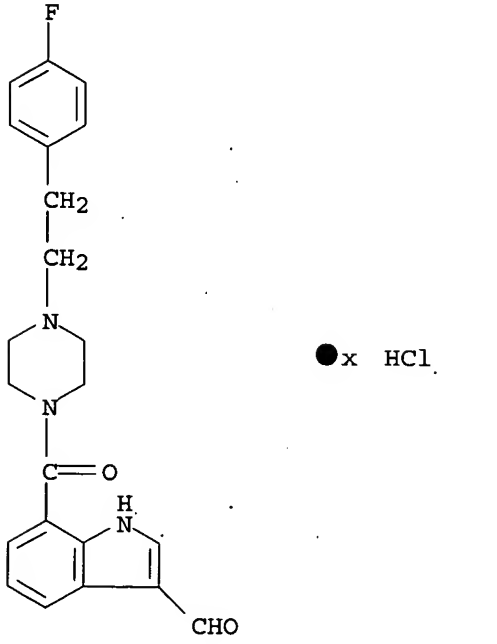


●x HCl

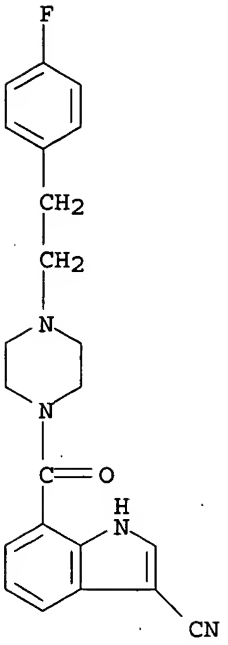
RN 320714-94-1 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(1H-indol-7-ylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 320714-96-3 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-[(3-formyl-1H-indol-7-yl)carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)

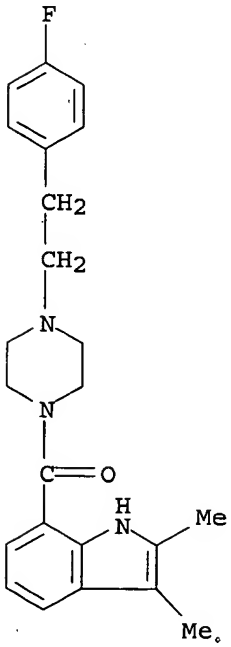


RN 320714-97-4 CAPLUS
CN Piperazine, 1-[(3-cyano-1H-indol-7-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 320714-98-5 CAPLUS
CN Piperazine, 1-[(2,3-dimethyl-1H-indol-7-yl)carbonyl]-4-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1178983	A1	20020213	EP 2000-939322	20000519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000011274	A	20020226	BR 2000-11274	20000519
	BG 106091	A	20020628	BG 2001-106091	20011108
	NO 2001005655	A	20020118	NO 2001-5655	20011120
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	US 2000-202608P	P	20000509		
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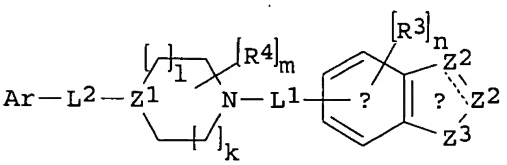
OS MARPAT 134:17503
GI



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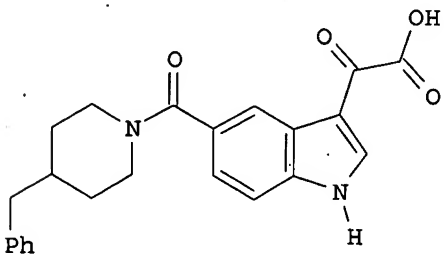

II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the ..alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

IT **309913-41-5P 309913-43-7P 309913-59-5P**
309913-60-8P 309913-64-2P 309913-71-1P
309913-72-2P 309913-73-3P 309913-74-4P
309913-82-4P 309913-83-5P 309913-85-7P
309913-88-0P 309914-02-1P 309914-14-5P
309914-17-8P 309914-21-4P 309914-25-8P
309914-27-0P 309914-60-1P 309914-62-3P
309914-63-4P 309914-64-5P 309914-71-4P
309914-73-6P 309914-74-7P 309914-77-0P
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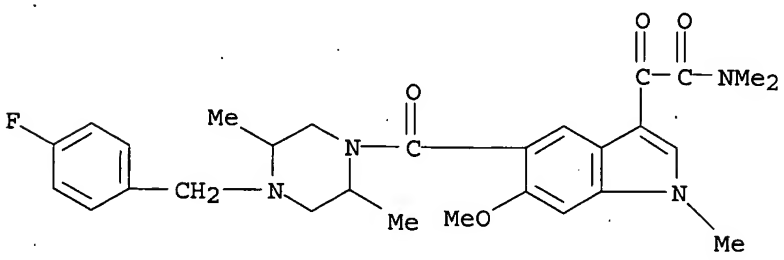
309914-87-2P 309914-89-4P 309914-95-2P
309914-96-3P 309914-97-4P 309914-98-5P
309915-01-3P 309915-02-4P 309915-04-6P
309915-05-7P 309915-12-6P 309915-13-7P
309915-14-8P 309915-15-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase)

RN 309913-41-5 CAPLUS

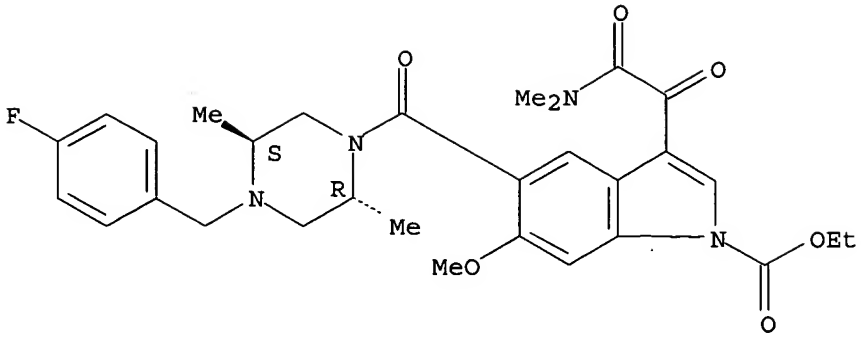
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



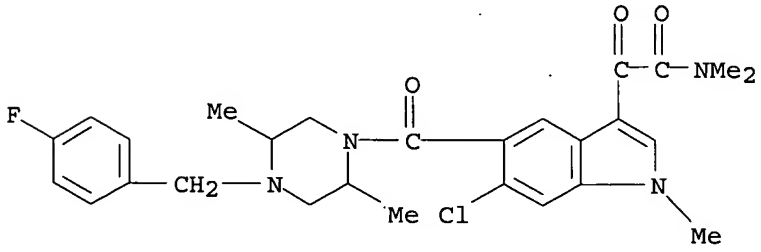
RN 309913-43-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester, rel- (9CI) (CA INDEX NAME)

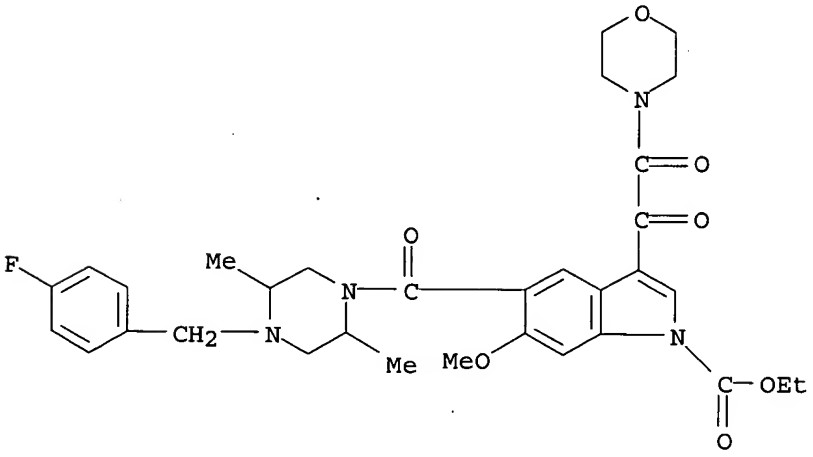
Relative stereochemistry.



RN 309913-59-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

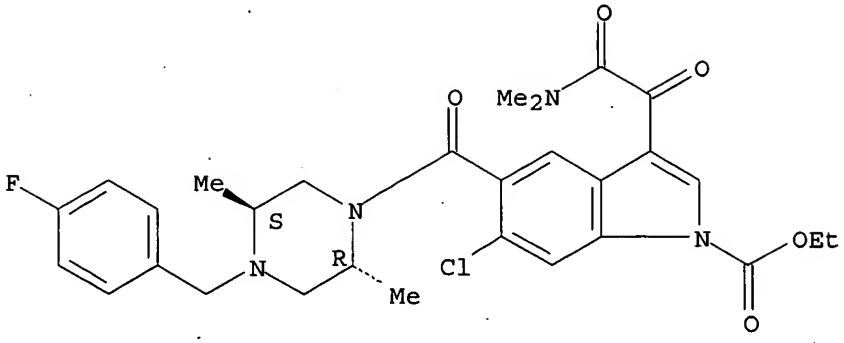


RN 309913-60-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinyloxoacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



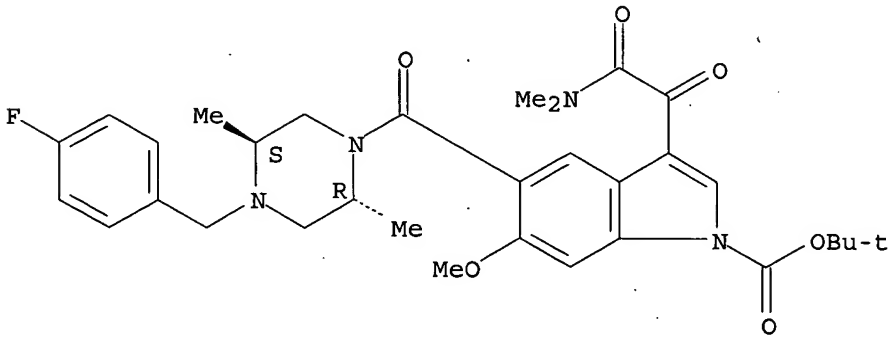
RN 309913-64-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



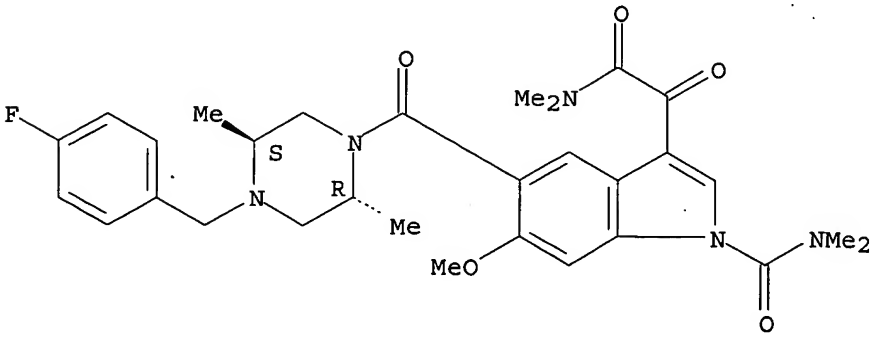
RN 309913-71-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



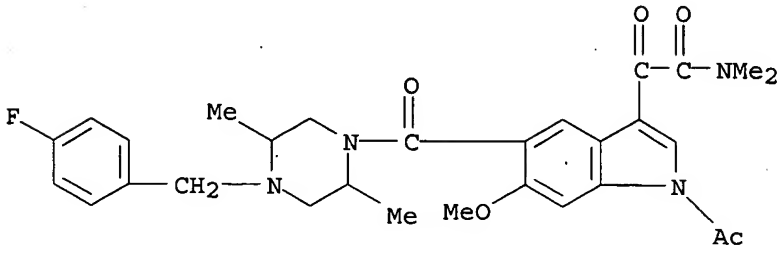
RN 309913-72-2 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



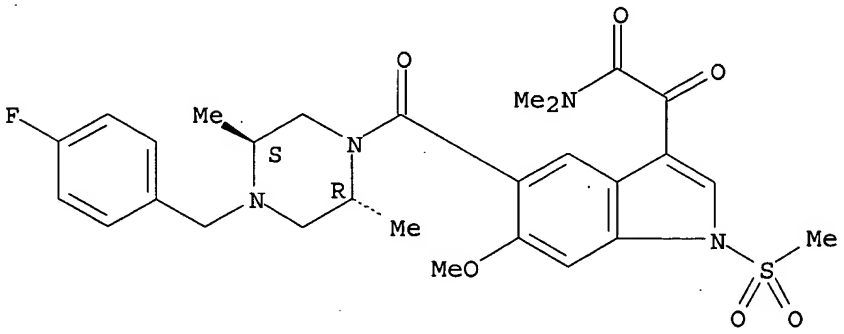
RN 309913-73-3 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



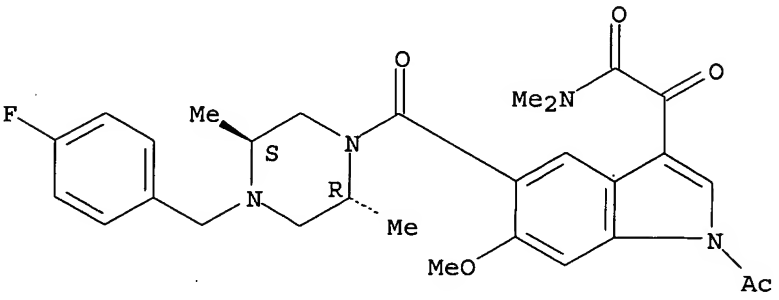
RN 309913-74-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methylsulfonyl)-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309913-82-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

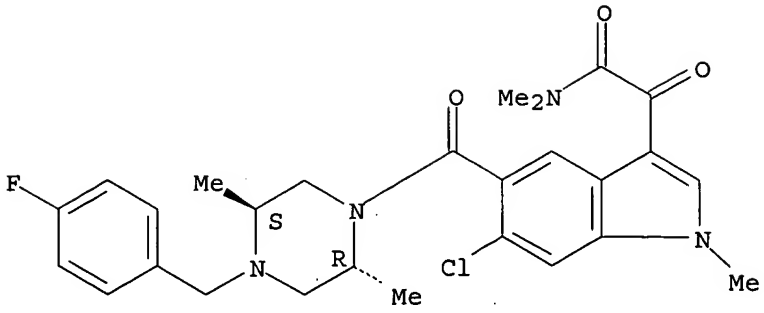
Relative stereochemistry.



RN 309913-83-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA

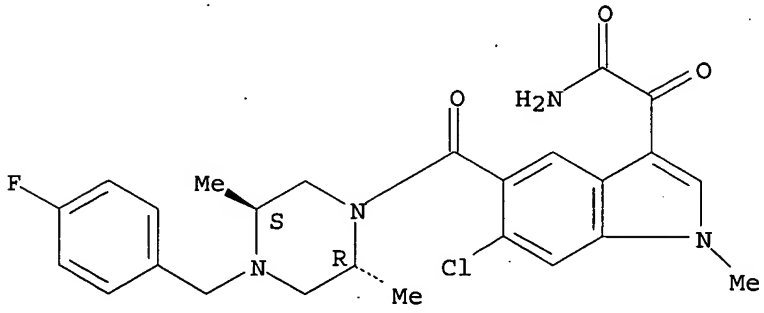
INDEX NAME)

Absolute stereochemistry.



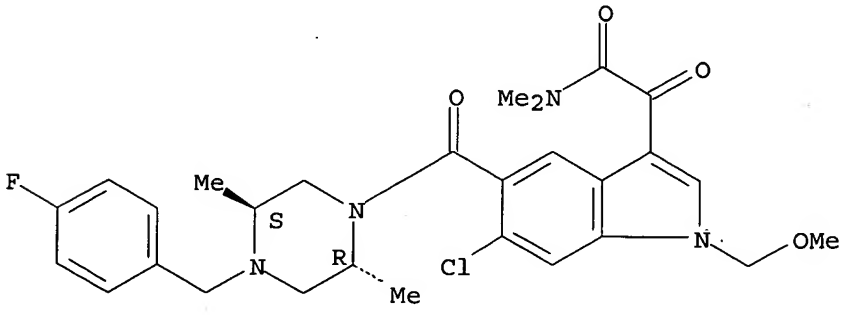
RN 309913-85-7 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309913-88-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

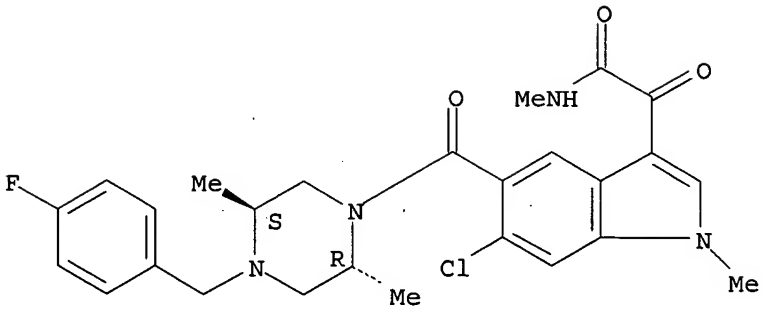
Relative stereochemistry.



RN 309914-02-1 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl-.alpha.-oxo-, rel- (9CI)

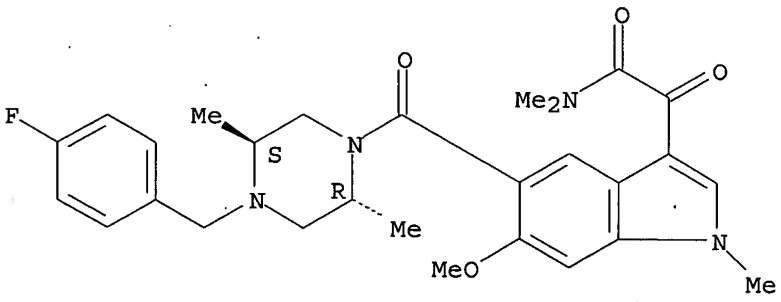
(CA INDEX NAME)

Relative stereochemistry.



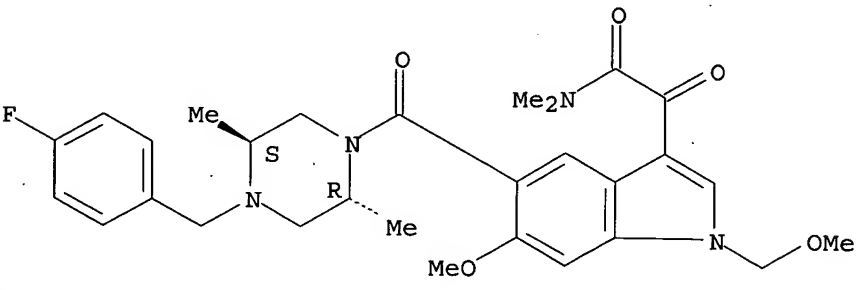
RN 309914-14-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



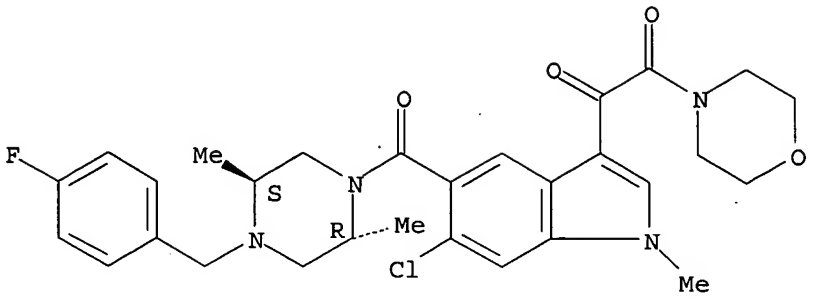
RN 309914-17-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



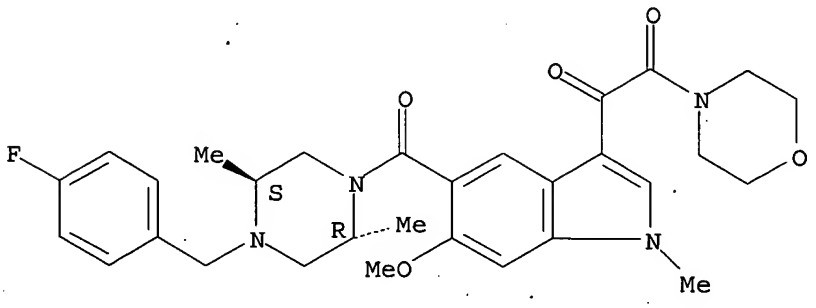
RN 309914-21-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



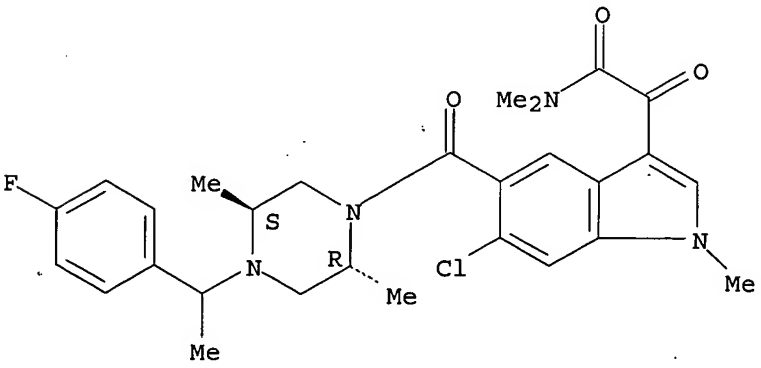
RN 309914-25-8 CAPLUS
CN Morpholine, 4-[[5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



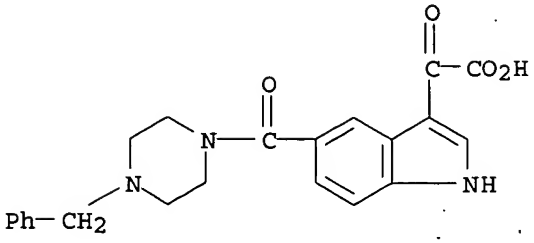
RN 309914-27-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

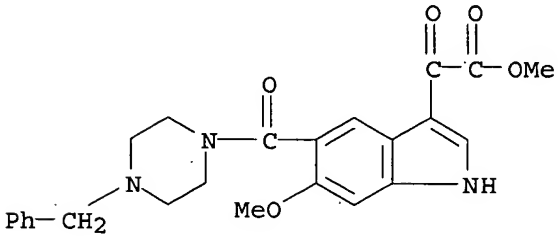


RN 309914-60-1 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(phenylmethyl)-1-

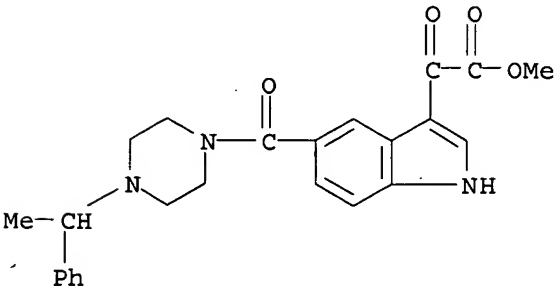
piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



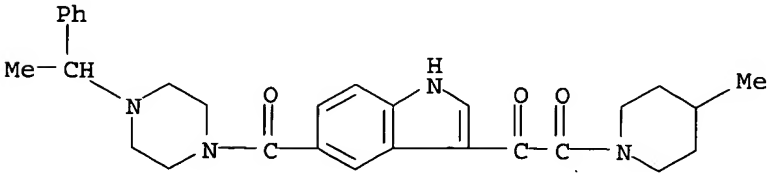
RN 309914-62-3 CAPLUS
CN 1H-Indole-3-acetic acid, 6-methoxy-.alpha.-oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



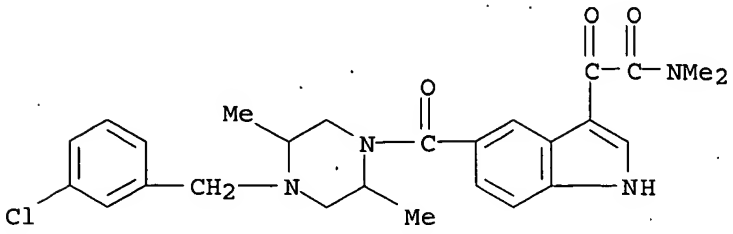
RN 309914-63-4 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



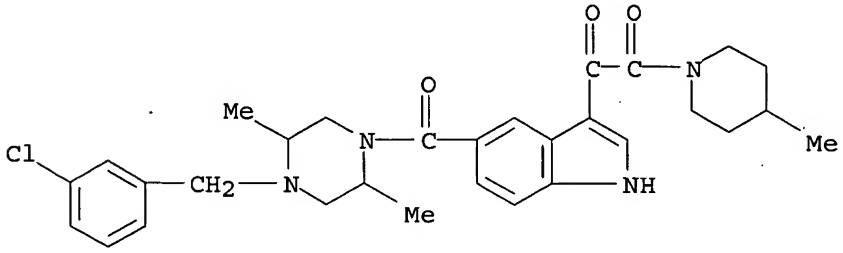
RN 309914-64-5 CAPLUS
CN Piperazine, 1-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



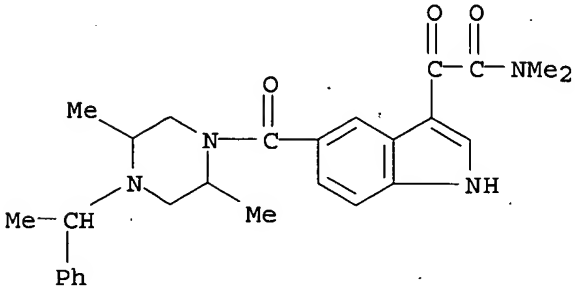
RN 309914-71-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-73-6 CAPLUS
CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

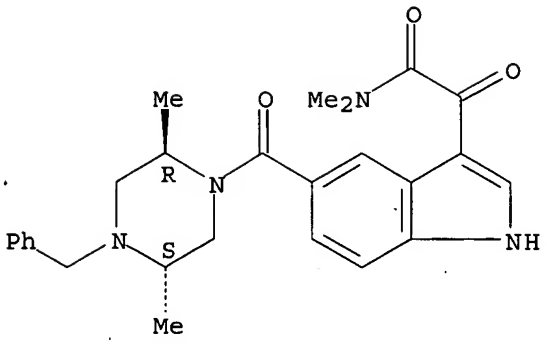


RN 309914-74-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

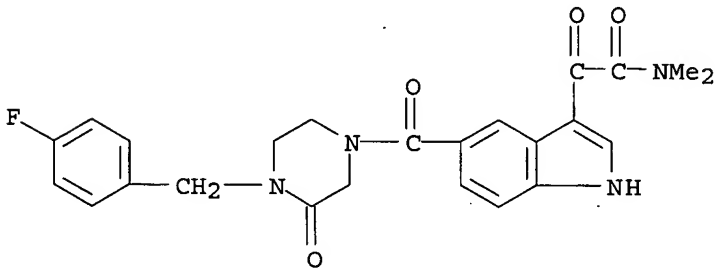


RN 309914-77-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

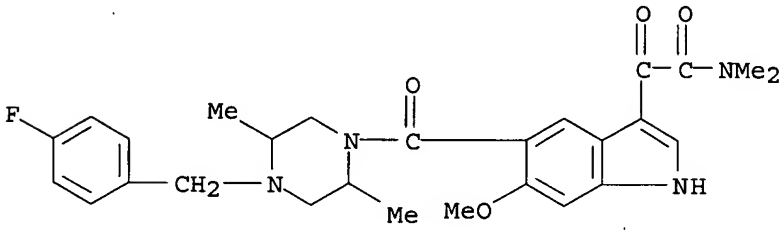
Relative stereochemistry.



RN 309914-78-1 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

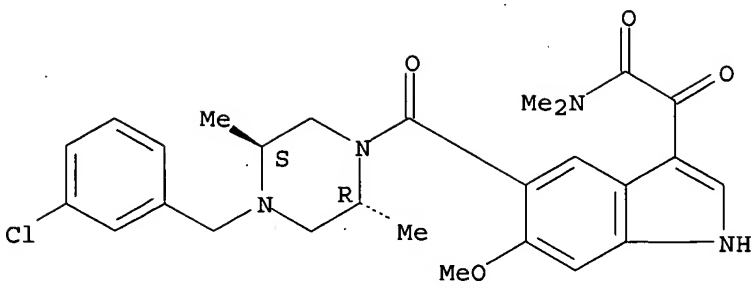


RN 309914-79-2 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

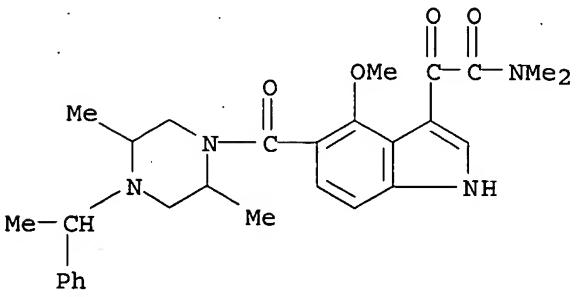


RN 309914-80-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

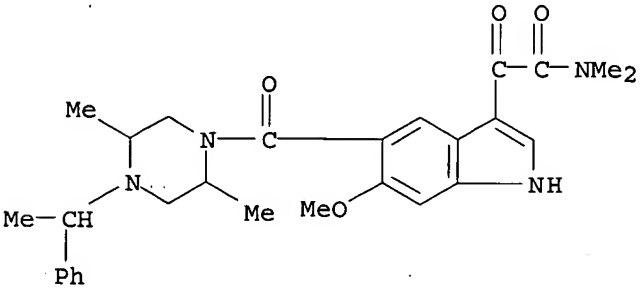
Relative stereochemistry.



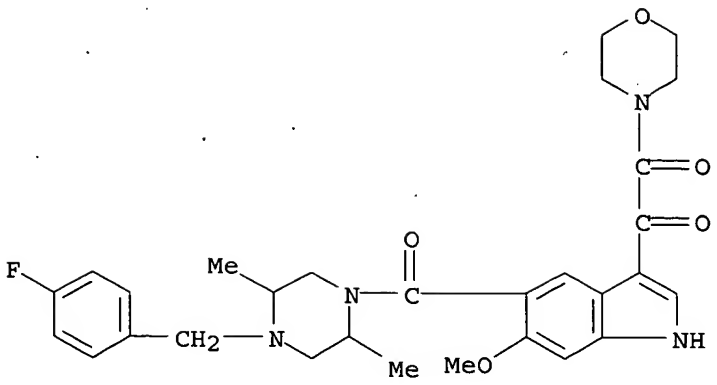
RN 309914-83-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-4-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



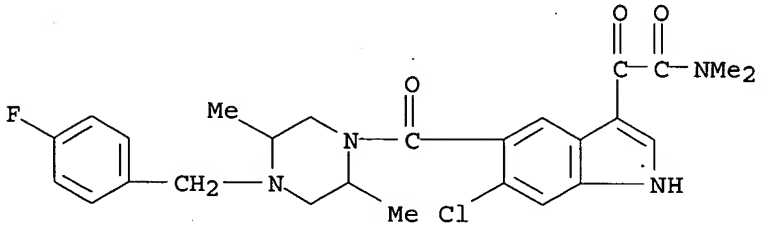
RN 309914-85-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-86-1 CAPLUS
CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

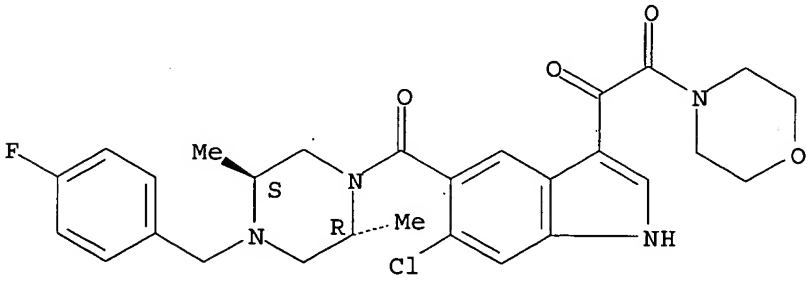


RN 309914-87-2 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



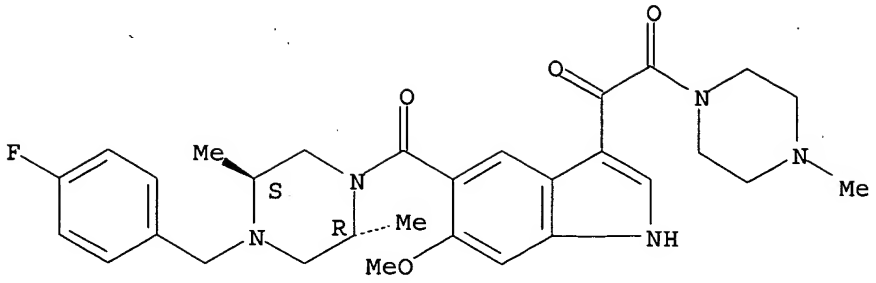
RN 309914-89-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

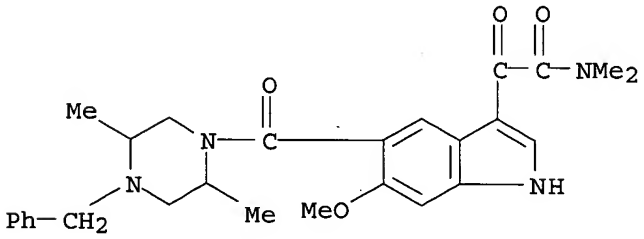


RN 309914-95-2 CAPLUS
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

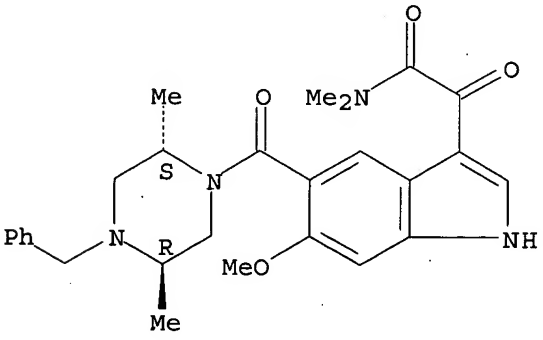


RN 309914-96-3 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



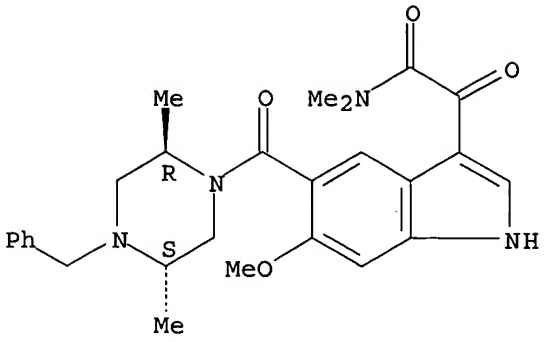
RN 309914-97-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



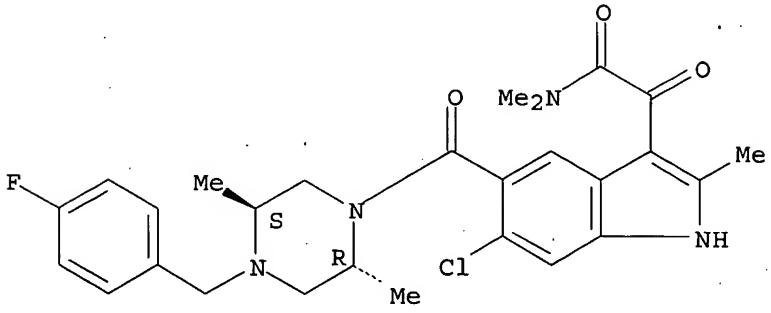
RN 309914-98-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



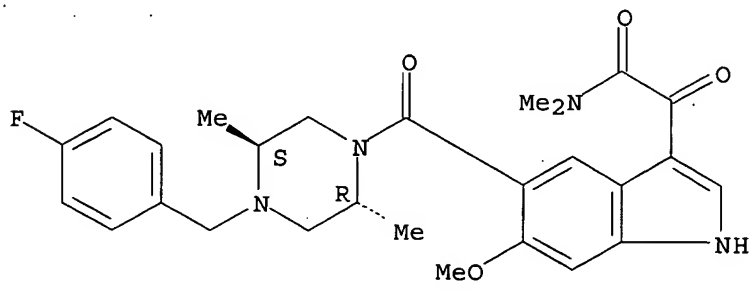
RN 309915-01-3 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



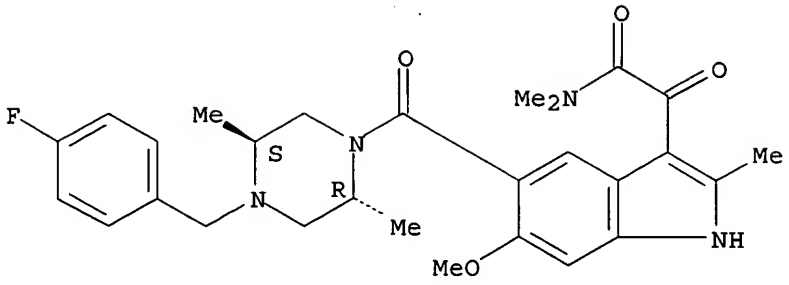
RN 309915-02-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



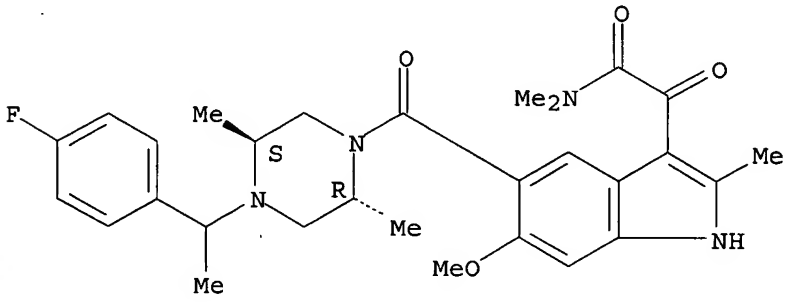
RN 309915-04-6 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



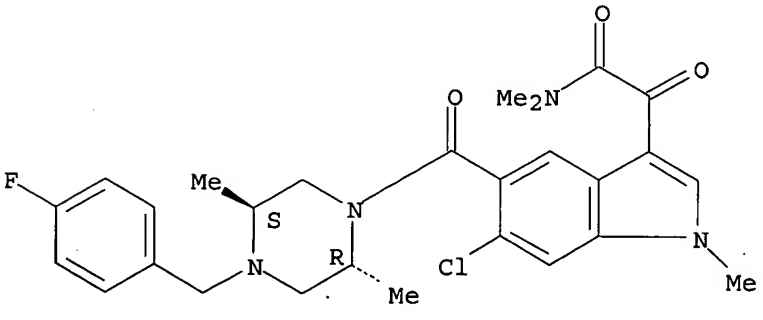
RN 309915-05-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309915-12-6 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

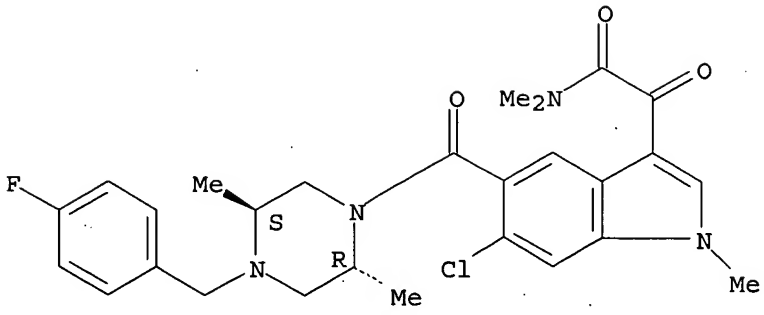


●x HCl

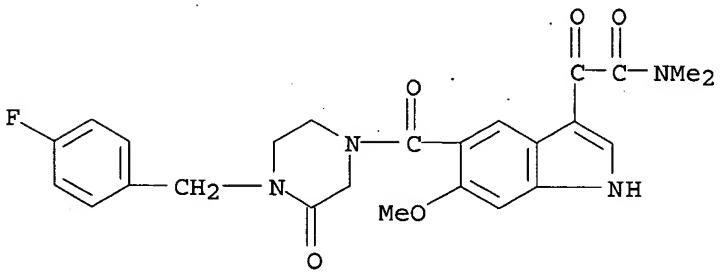
RN 309915-13-7 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI)

(CA INDEX NAME)

Relative stereochemistry.

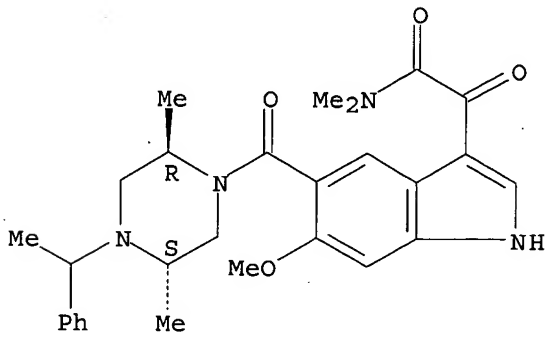


RN 309915-14-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309915-15-9 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



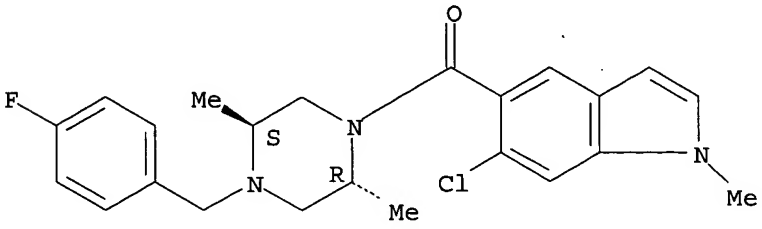
IT **309915-19-3P 309915-24-0P 309915-38-6P 309915-41-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase)

RN 309915-19-3 CAPLUS

CN Piperazine, 1-[(6-chloro-1-methyl-1H-indol-5-yl)carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

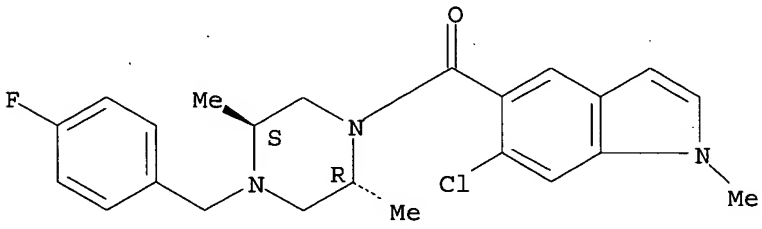
Absolute stereochemistry.



RN 309915-24-0 CAPLUS

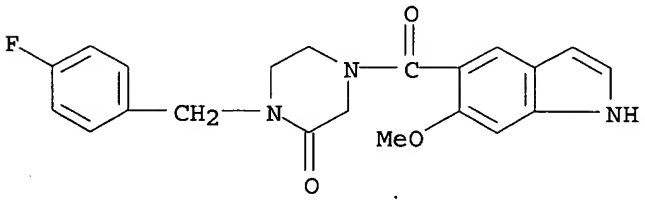
CN Piperazine, 1-[(6-chloro-1-methyl-1H-indol-5-yl)carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309915-38-6 CAPLUS

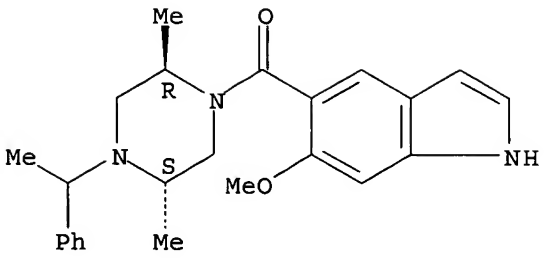
CN Piperazinone, 1-[(4-fluorophenyl)methyl]-4-[(6-methoxy-1H-indol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 309915-41-1 CAPLUS

CN Piperazine, 1-[(6-methoxy-1H-indol-5-yl)carbonyl]-2,5-dimethyl-4-(1-phenylethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2000:627999 CAPLUS
DN 133:222744
TI Preparation of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compounds as inhibitors of prenyl-protein transferases.
IN Stump, Craig A.; Williams, Theresa M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000051614	A1	20000908	WO 2000-US5354	20000301
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	US 1999-127252P	P	19990331		
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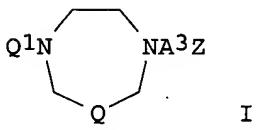


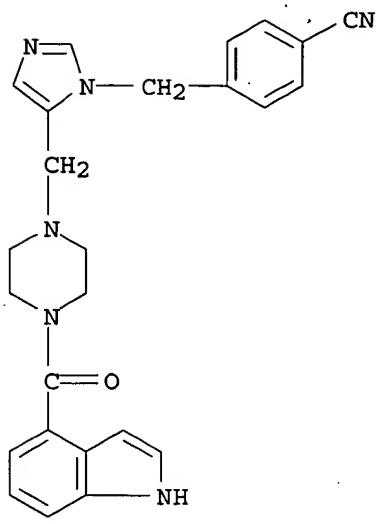
I

AB Title compds. I; R1a, R1b = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (substituted) alkyl, etc.; R8 = H, (substituted) aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, N3, NO2, cyano, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, S, SO, SO2, etc.; A3 = CO, S, SO, SO2; V = H, heterocyclyl, aryl, alkyl, alkenyl; W = heterocyclyl; Z = (substituted) aryl, heteroaryl; Q = (CH2)s; Q1 = (R8)mVA1[C(R1a)2]nA2[C(R1a)2]nW(R9)q[C(R1b)2]p; m = 0-5; n, p = 0-4; q = 1, 2; s = 0, 1; with provisos, were prepd. Thus, 1-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]piperazine trihydrochloride, 2-methoxyquinoline-4-carboxylic acid, EDC hydrochloride, hydroxybenzotriazole, and EtN(CHMe2)2 were stirred in DMF to give 4-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]-1-(2-methoxyquinolin-4-oyl)piperazine trihydrochloride. Tested I inhibited human farnesyl protein transferase with IC50.ltoreq.5 .mu.M.

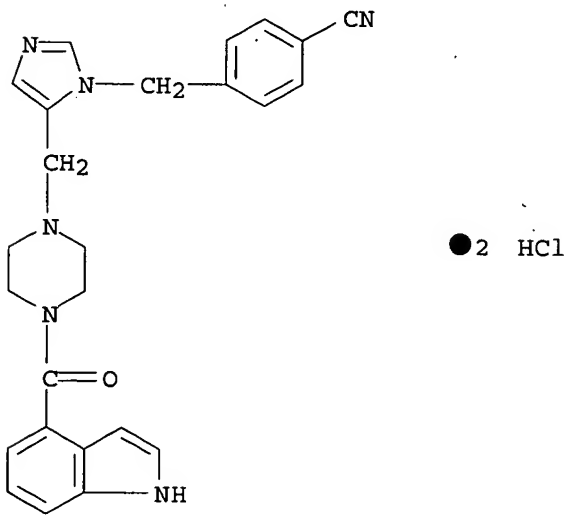
IT **290819-45-3P 290819-75-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compds. as inhibitors of prenyl-protein transferases)

RN 290819-45-3 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(1H-indol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 290819-75-9 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(1H-indol-4-ylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

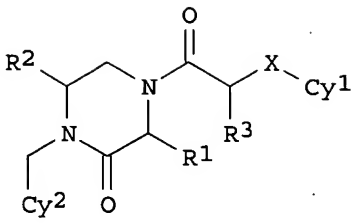


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

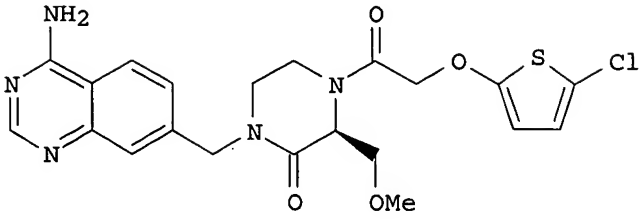
L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2000:384179 CAPLUS
DN 133:30741
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032590	A1	20000608	WO 1999-US28074	19991124
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	WO 9937304	A1	19990729	WO 1999-US1682	19990127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 1998-110012P A2 19981125
WO 1999-US1682 A2 19990127
US 1999-313611 A2 19990518
US 1999-363196 A2 19990728
US 1998-72707P A2 19980127
OS MARPAT 133:30741
GI



I



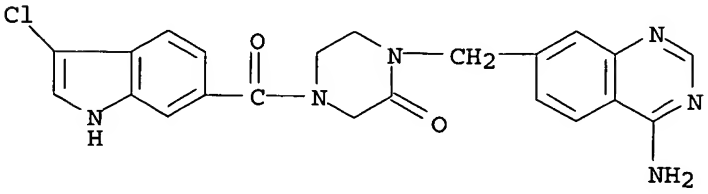
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein R1 = H, alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, alkoxy, aminoalkyl, CH2OZ, CH(CH3)OZ; R2 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R3 = H or Me; X = N or O; Z = lower alkyl or alkoxycarbonylalkyl; Cy1 = (un)substituted aryl, (un)substituted heteroaryl; Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.]. The compds. inhibit factor Xa (no data), and thereby the prodn. of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 invention compds., approx. 50 of which are also claimed, and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone deriv. (prepns. given), using DIPEA and TBTU in DMF, gave the preferred title compd. II.

IT **234102-35-3P 234102-92-2P 234103-21-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

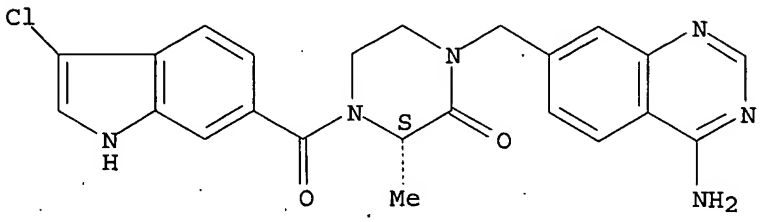
RN 234102-35-3 CAPLUS

CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



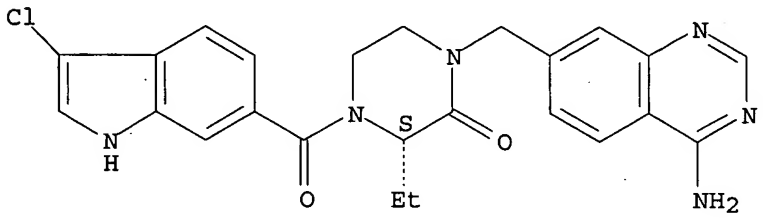
RN 234102-92-2 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 234103-21-0 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-ethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

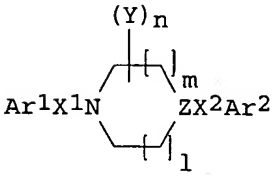


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2000:161119 CAPLUS
DN 132:203174
TI Inhibitors of p38-.alpha. kinase, preparation thereof, and therapeutic use
IN Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PA Scios Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012074	A2	20000309	WO 1999-US19845	19990827
	WO 2000012074	A3	20000831		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2342251	AA	20000309	CA 1999-2342251	19990827
	AU 9957936	A1	20000321	AU 1999-57936	19990827
	EP 1107758	A2	20010620	EP 1999-945316	19990827
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9913654	A	20011127	BR 1999-13654	19990827
	JP 2002523448	T2	20020730	JP 2000-567192	19990827
PRAI	US 1998-98219P	P	19980828		
	US 1999-125343P	P	19990319		
	US 1998-125343P	P	19990319		
	WO 1999-US19845	W	19990827		

OS MARPAT 132:203174
GI



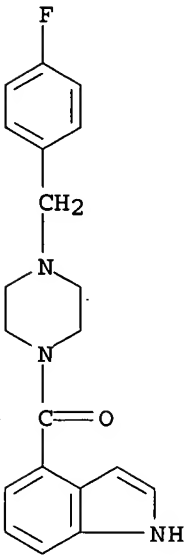
I

AB Methods are provided for treating conditions mediated by p38-.alpha. kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is

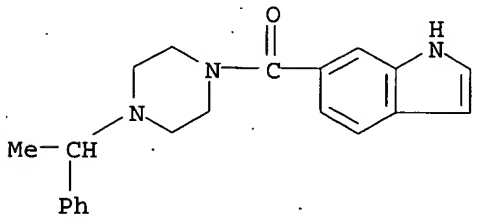
described. Compds. of the invention may be used to treat p38-.alpha. kinase-mediated conditions.

IT **260427-31-4 260427-72-3 260427-75-6 260427-76-7 260427-91-6 260427-92-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38-.alpha. kinase inhibitors, prepn., and therapeutic use)

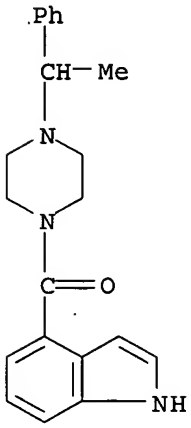
RN 260427-31-4 CAPLUS
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-(1H-indol-4-ylcarbonyl)- (9CI) (CA INDEX NAME)



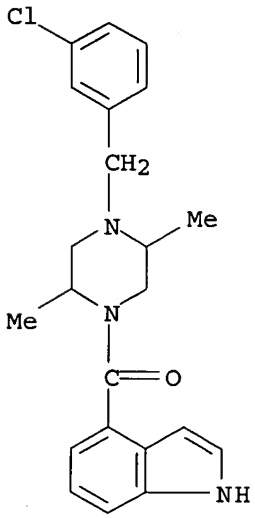
RN 260427-72-3 CAPLUS
CN Piperazine, 1-(1H-indol-6-ylcarbonyl)-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



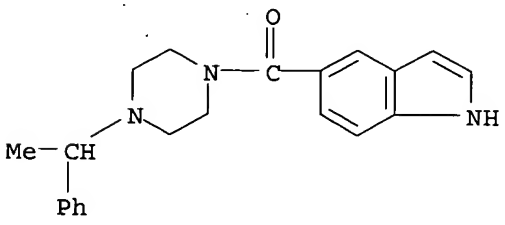
RN 260427-75-6 CAPLUS
CN Piperazine, 1-(1H-indol-4-ylcarbonyl)-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 260427-76-7 CAPLUS
CN Piperazine, 1-[(3-chlorophenyl)methyl]-4-(1H-indol-4-ylcarbonyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

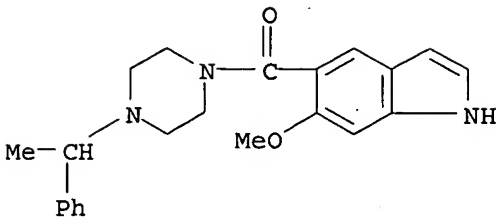


RN 260427-91-6 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 260427-92-7 CAPLUS
CN Piperazine, 1-[(6-methoxy-1H-indol-5-yl)carbonyl]-4-(1-phenylethyl)- (9CI)

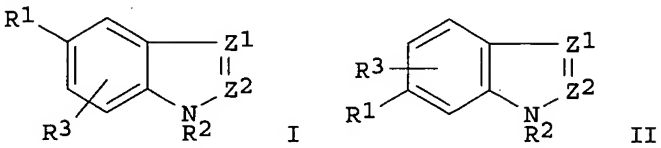
(CA INDEX NAME)

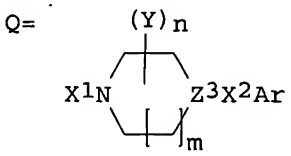


L4 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1999:764025 CAPLUS
DN 132:3363
TI Heterocyclic compounds and methods to treat cardiac failure and other disorders
IN Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Lewicki, John A.; Perumattam, John J.
PA Scios, Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961426	A1	19991202	WO 1999-US11222	19990521
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6130235	A	20001010	US 1998-128137	19980803
	US 6340685	B1	20020122	US 1999-275176	19990324
	AU 9940920	A1	19991213	AU 1999-40920	19990521
	BR 9911069	A	20010206	BR 1999-11069	19990521
	EP 1080078	A1	20010307	EP 1999-924412	19990521
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002516314	T2	20020604	JP 2000-550832	19990521
	NO 2000005881	A	20010109	NO 2000-5881	20001121
PRAI	US 1998-86531P	P	19980522		
	US 1998-128137	A	19980803		
	US 1999-275176	A	19990324		
	WO 1999-US11222	W	19990521		

OS MARPAT 132:3363
GI



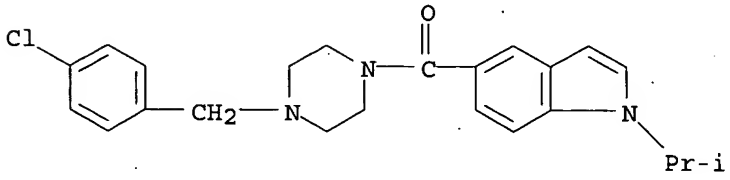


AB Compds. I and II [Z1, Z2 = CR4, N; R4 = H, alkyl, aryl, each of said alkyl

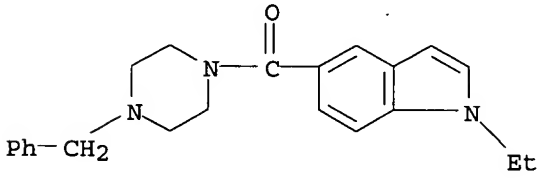
or aryl optionally including one or more heteroatoms selected from O, S and N and optionally substituted by one or more of halo, OR, SR, NR2, RCO, CO2R, CONR2, O2CR, NROCR and R = H, alkyl, CN, oxo, etc.; R1 = Q and X1 = CO or an isostere; m = 0, 1; Y = alkyl, aryl, arylalkyl; YY = alkylene bridge; n = 0, 2; Z3 = CH, N; X2 = CH, CH2 or an isostere; Ar = one or two Ph moieties directly coupled to X2 optionally substituted by halo, nitro, alkyl, etc.; R2 = H, alkyl, aryl; R3 = H, halo, NO2, alkyl, alkenyl, etc.], selective inhibitors of p38.alpha. kinase, were prepd. E.g., 4-benzylpiperidinylindole-5-carboxamide was prepd.

IT **251106-48-6P 251106-62-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as selective inhibitors of p38 kinase)

RN 251106-48-6 CAPLUS
CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-[[1-(1-methylethyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

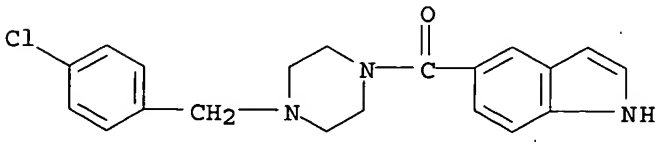


RN 251106-62-4 CAPLUS
CN Piperazine, 1-[(1-ethyl-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **251107-28-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as selective inhibitors of p38 kinase)

RN 251107-28-5 CAPLUS
CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)

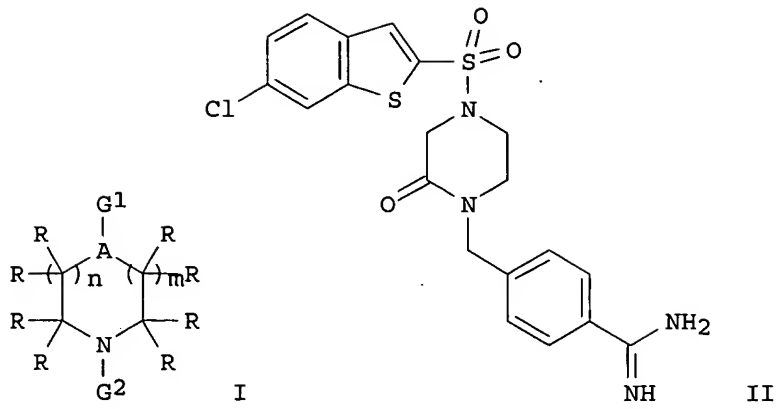


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1999:487215 CAPLUS
DN 131:130007
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9937304	A1	19990729	WO 1999-US1682	19990127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9900607	A	19990727	ZA 1999-607	19990127
	CA 2319198	AA	19990729	CA 1999-2319198	19990127
	AU 9926533	A1	19990809	AU 1999-26533	19990127
	AU 745425	B2	20020321		
	BR 9907300	A	20001024	BR 1999-7300	19990127
	EP 1051176	A1	20001115	EP 1999-906684	19990127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002501024	T2	20020115	JP 2000-528286	19990127
	EE 200000435	A	20020215	EE 2000-435	19990127
	WO 2000032590	A1	20000608	WO 1999-US28074	19991124
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	NO 2000003808	A	20000926	NO 2000-3808	20000725
PRAI	US 1998-72707P	A2	19980127		
	US 1998-110012P	A2	19981125		
	WO 1999-US1682	W	19990127		
	US 1999-313611	A2	19990518		
	US 1999-363196	A2	19990728		

OS MARPAT 131:130007
GI



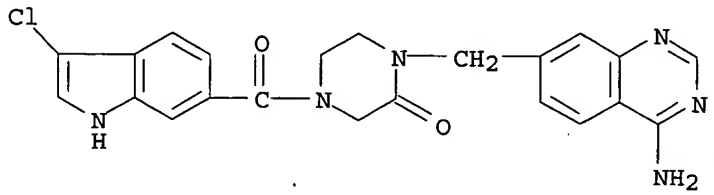
I II

AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various at. and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the prodn. of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compd. II.

IT **234102-35-3P 234102-92-2P 234103-21-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 234102-35-3 CAPLUS

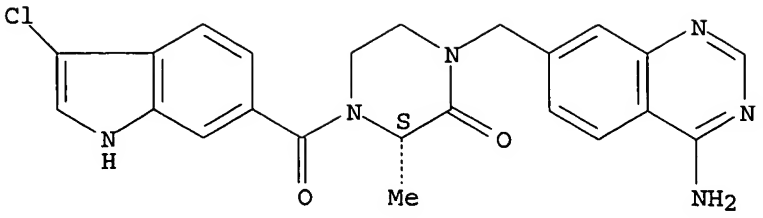
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 234102-92-2 CAPLUS

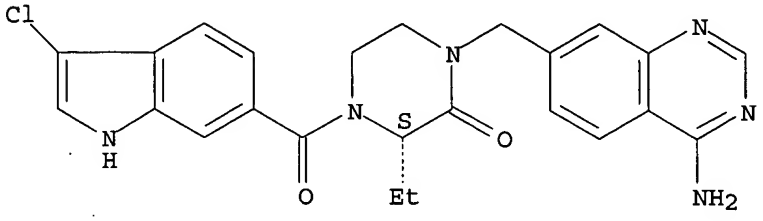
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 234103-21-0 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-ethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

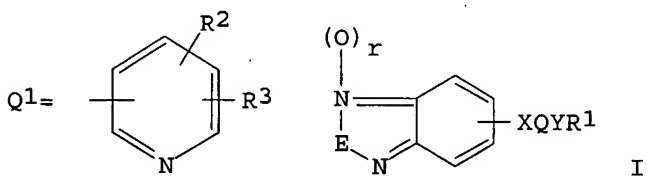


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

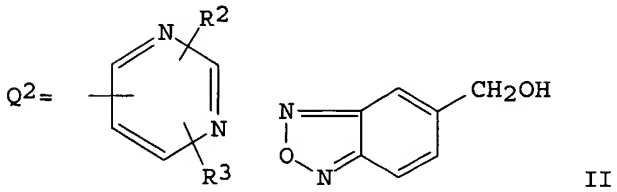
L4 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1991:583313 CAPLUS
DN 115:183313
TI Preparation and formulation of benzofurazan derivatives as antiarrhythmics
IN Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 431944	A2	19910612	EP 1990-313263	19901206
EP 431944	A3	19920115		
R: CH, DE, FR, GB, IT, LI, NL				
US 5032604	A	19910716	US 1989-447941	19891208
JP 03209372	A2	19910912	JP 1990-326193	19901129
CA 2031645	AA	19910609	CA 1990-2031645	19901206
US 5112824	A	19920512	US 1991-730332	19910715
PRAI US 1989-447941		19891208		

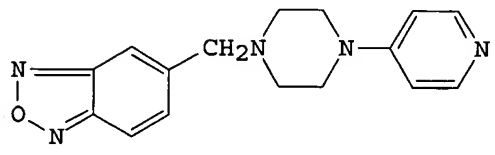
OS MARPAT 115:183313
GI



I



II



III

AB The title compds. I [Q = NR, 5- to 7-membered heterocycle with 1 or 2 N atoms; R = H, alkyl; X, Y = CO, (CRR)m, SO2, bond, etc.; m = 1 to 3; E = O, S; r = 0 or 1; R1 = H, Q1, Q2, etc.; R2, R3 = H, alkoxy, NO2, halo, cyano, etc.] were prepd. I are antiarrhythmics with potassium blocking activity. Reaction of alc. II with methanesulfonyl chloride, followed by reaction with 1-(4-pyridyl)piperazine, gave benzofuran III. The effective concns. of compds. I required to increase the refractory period (in isolated papillary muscle) by an increment of 25% above baseline is

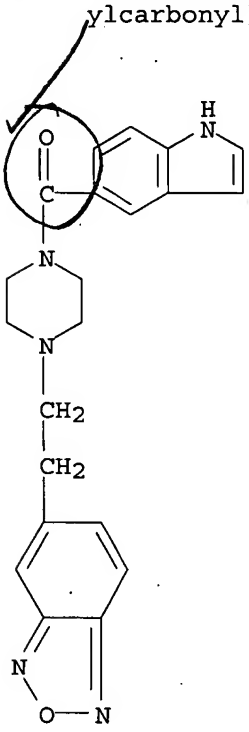
.ltoreq.10 .mu.M.

IT **136482-01-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiarrhythmic)

RN 136482-01-4 CAPLUS

CN Piperazine, 1-[2-(2,1,3-benzoxadiazol-5-yl)ethyl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)

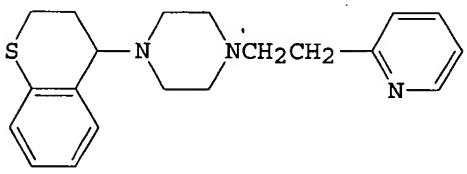


102

L4 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1991:559163 CAPLUS
DN 115:159163
TI Preparation 1-(hetero)cycloalkyl-4-(2-arylethyl)piperazines and analogs as antiarrhythmic agents
IN Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 431945	A2	19910612	EP 1990-313264	19901206
	EP 431945	A3	19920422		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5032598	A	19910716	US 1989-447949	19891208
	JP 03181461	A2	19910807	JP 1990-326194	19901129
	CA 2031693	AA	19910609	CA 1990-2031693	19901206
	US 5215989	A	19930601	US 1991-730317	19910715
PRAI	US 1989-447949		19891208		

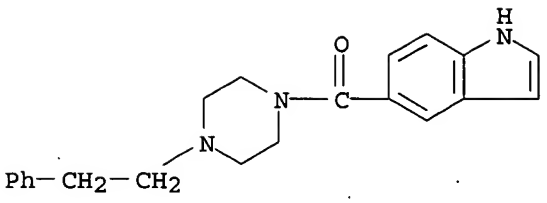
OS MARPAT 115:159163
GI



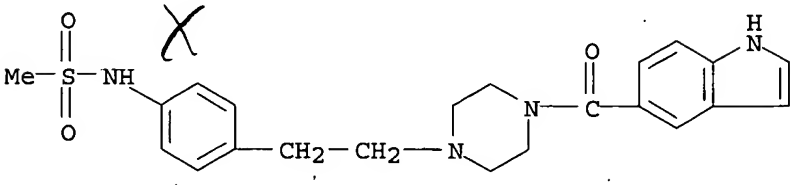
II

AB ArXQYR1 [I; Ar = (un)substituted benzo-, thieno-, furo-, or pyrrolo-fused Ph or other 5 to 7-membered carbocyclic or heterocyclic moiety; Q = 5 to 7-membered heterocyclylenediyl; R1 = H when Q = imidazolylenediyl; R1 is otherwise (un)substituted (hetero)aryl; X = CO, CONR(CR2)m, SO2, (CR2)m; R = H, C1-6 alkyl; Y = (CR2)m, (CR2)mO; m = 0-3] were prepd. Thus, thiochroman-4-ol was treated with SOCl2 and the product condensed with 4-[2-(2-pyridyl)ethyl]piperazine to give title compd. II. I at .ltoreq.10 .mu.M gave a 25% increase of isolated ferret papillary muscle refractory period.
IT **136188-74-4P 136188-75-5P 136188-76-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiarrhythmic agent)
RN 136188-74-4 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

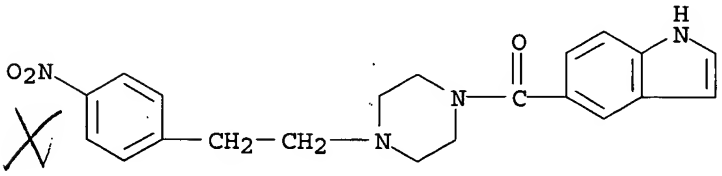
excl.



RN 136188-75-5 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[2-[4-[(methylsulfonyl)amino]phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 136188-76-6 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1991:101745 CAPLUS
DN 114:101745
TI Preparation and formulation of 3-[(4-aryl-1,2,3,6-tetrahydropyrido)alkyl]indoles and analogs as nervous system agents
IN Boettcher, Henning; Juraszyk, Horst; Hausberg, Hans Heinrich; Greiner, Hartmut; Seyfried, Christoph; Minck, Klaus Otto; Bergmann, Rolf
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3907974	A1	19900913	DE 1989-3907974	19890311
	EP 387603	A1	19900919	EP 1990-103842	19900228
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 02273672	A2	19901108	JP 1990-49703	19900302
	AU 9051162	A1	19900913	AU 1990-51162	19900308
	AU 622291	B2	19920402		
	CA 2011834	AA	19900911	CA 1990-2011834	19900309
	ZA 9001857	A	19901228	ZA 1990-1857	19900309
	HU 56088	A2	19910729	HU 1990-1382	19900309
	HU 206207	B	19920928		
PRAI	DE 1989-3907974		19890311		

OS MARPAT 114:101745
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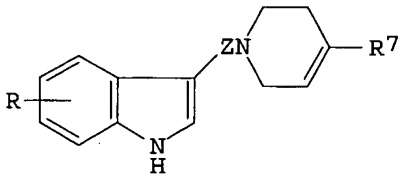

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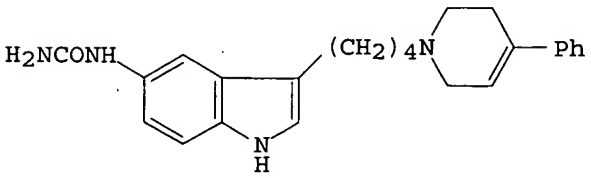
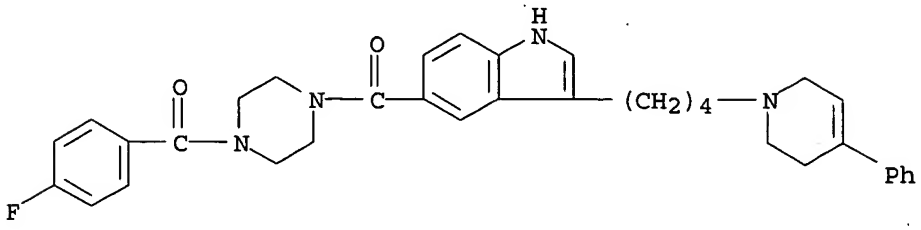


II

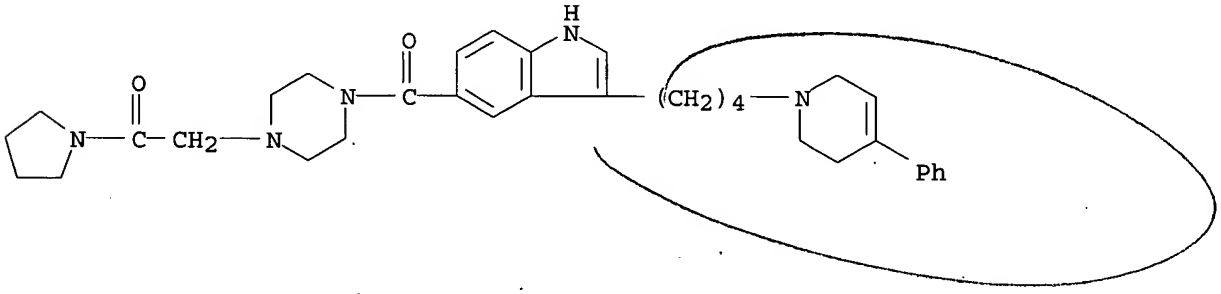
AB The title compds. [I; R = OCH2COR1, NHR2, NO2, CONR3R4, CSNH2; R1 = OH, NH2, alkoxy, (di)alkylamino, etc.; R2 = H, alkanoyl, aroyl, CONH2, etc.; R3 = H, (hydroxy)alkyl; R4 = O-(un)substituted hydroxyalkyl, dialkylamino, (un)substituted Ph, etc.; NR3R4 = heterocyclyl; R7 = 2- or 3-thienyl, (un)substituted Ph; Z = (CH2)2-5, CH2SOnCH2CH2; n = 0-2] were prepd. as nervous system agents (no data). Thus, 3-(4-chlorobutyl)-5-indolylurea [prepn. starting from 5-nitroindole and Cl(CH2)3COCl described] was stirred 12 h with 4-phenyl-1,2,3,6-tetrahydropyridine in MeCN to give title compd. II. Pharmaceutical formulations comprising I are given.

IT **132285-58-6P 132285-61-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)
RN 132285-58-6 CAPLUS

CN Piperazine, 1-[[3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indol-5-yl]carbonyl]-4-(4-fluorobenzoyl)- (9CI) (CA INDEX NAME)



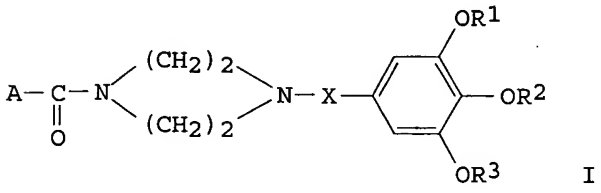
RN 132285-61-1 CAPLUS
CN Piperazine, 1-[[3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indol-5-yl]carbonyl]-4-[2-(1-pyrrolidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1989:625318 CAPLUS
DN 111:225318
TI Preparation of 1,4-disubstituted piperazines and their use as antagonists of platelet-activating factor
IN Sugihara, Hirosada; Itoh, Katsumi; Nishikawa, Kohei
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 318235	A2	19890531	EP 1988-311022	19881122
	EP 318235	A3	19910502		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 01230570	A2	19890914	JP 1988-295244	19881122
	US 4937246	A	19900626	US 1988-274975	19881122
PRAI	JP 1987-296887		19871125		

GI

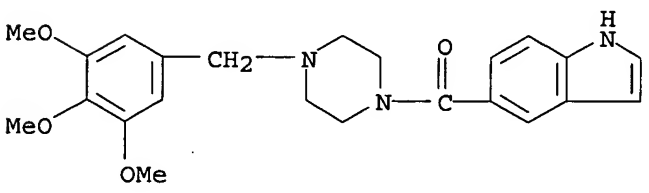


I

AB The title compds. I [A = (un)substituted Ph, (un)substituted heterocyclyl; X = CH2, C(:O), C(:S); R1, R2, R3 = lower alkyl] or their salts, a means of their prepn., and compns. contg. them are provided for inhibition of platelet-activating factor (PAF). 1-(3-Methoxy-5-nitro-4-propoxybenzoyl)-4-(3,4,5-trimethoxybenzyl)piperazine-HCl (II) was prepd. from 1-(3,4,5-trimethoxybenzyl)piperazine dihydrochloride and 3-methoxy-5-nitro-4-propoxy-benzoyl chloride (prepn. given). II (3 .times. 10-5M) completely inhibited PAF-induced aggregation of rabbit platelets; 30 mg II/kg inhibited PAF-induced hypotension in rats.

IT **123947-42-2P 123947-43-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of platelet-activating factor)

RN 123947-42-2 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



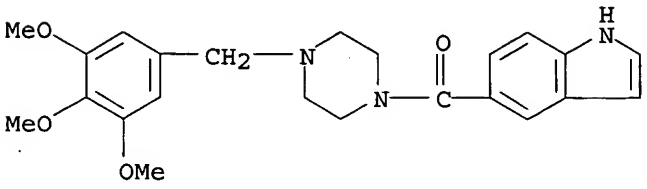
Lom.

RN 123947-43-3 CAPLUS

CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

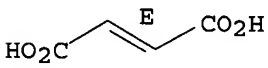
CRN 123947-42-2
CMF C23 H27 N3 O4



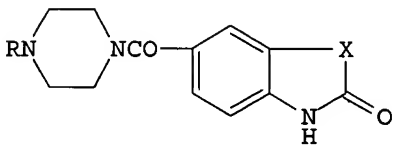
CM 2

CRN 110-17-8
CMF C4 H4 O4

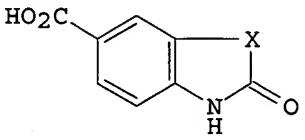
Double bond geometry as shown.



L4 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1989:57613 CAPLUS
DN 110:57613
TI Studies on positive inotropic agents. V. Synthesis of 1-heteroaroylpiperazine derivatives
AU Ogawa, Hidenori; Tamada, Shigeharu; Fujioka, Takafumi; Teramoto, Shuji; Kondo, Kazumi; Yamashita, Shuji; Yabuuchi, Youichi; Tominaga, Michiaki; Nakagawa, Kazuyuki
CS Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SO Chemical & Pharmaceutical Bulletin (1988), 36(6), 2253-8
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 110:57613
GI



I

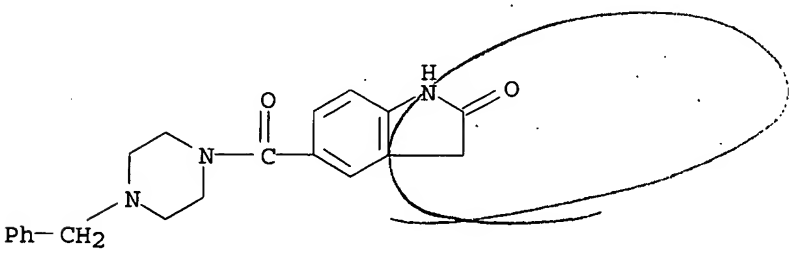


II

AB A series of title compds. I [X = S, CH2, CH2NMe, CONMe, R = PhCH2, Me2CHCH2, PhCO(CH2)3] was synthesized and examd. for pos. inotropic activities on the canine heart. The key intermediates, heteroarenecarboxylic acids II (X = as above) were prepd. by two different methods, and were conducted with substituted piperazines to give I. The 5-membered lactams prepd. were less active than the control compd. (amrinone). However, the 6-membered cyclic ureido comdps., I [X = CH2NMe, CONMe, CONMe; R = PhCO(CH2)3, PhCH2] all showed potent pos. inotropic activity.

IT **102358-72-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., inotropic and chronotropic activity of)

RN 102358-72-5 CAPLUS
CN Piperazine, 1-[(2,3-dihydro-2-oxo-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



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L5              0 L3

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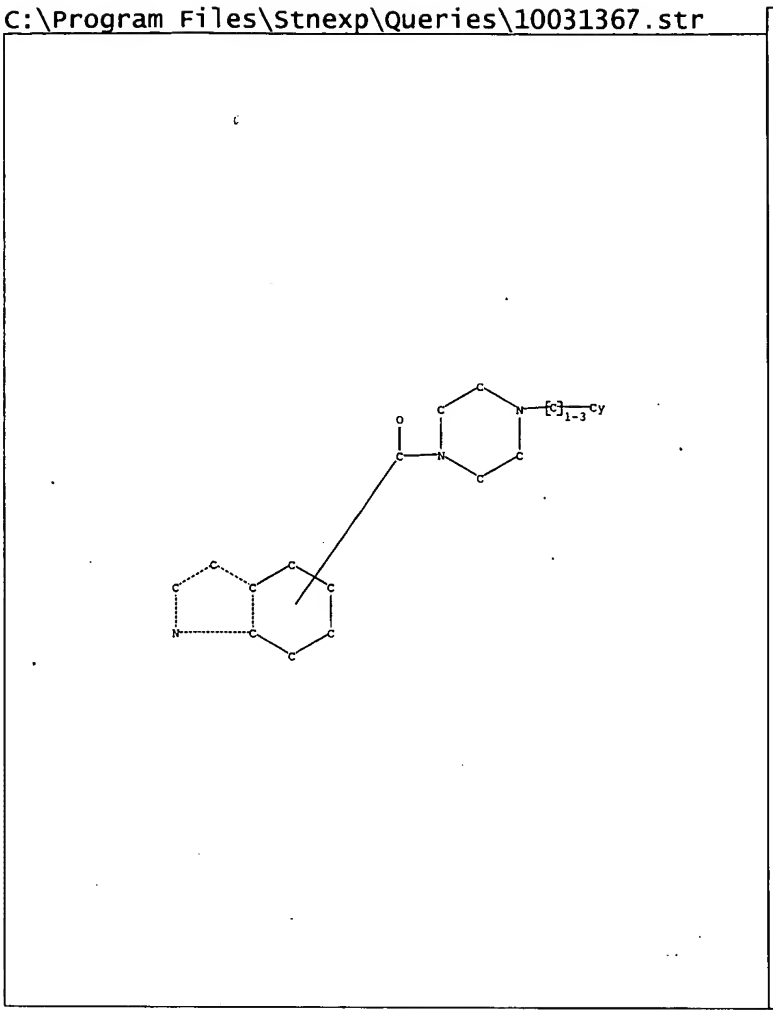

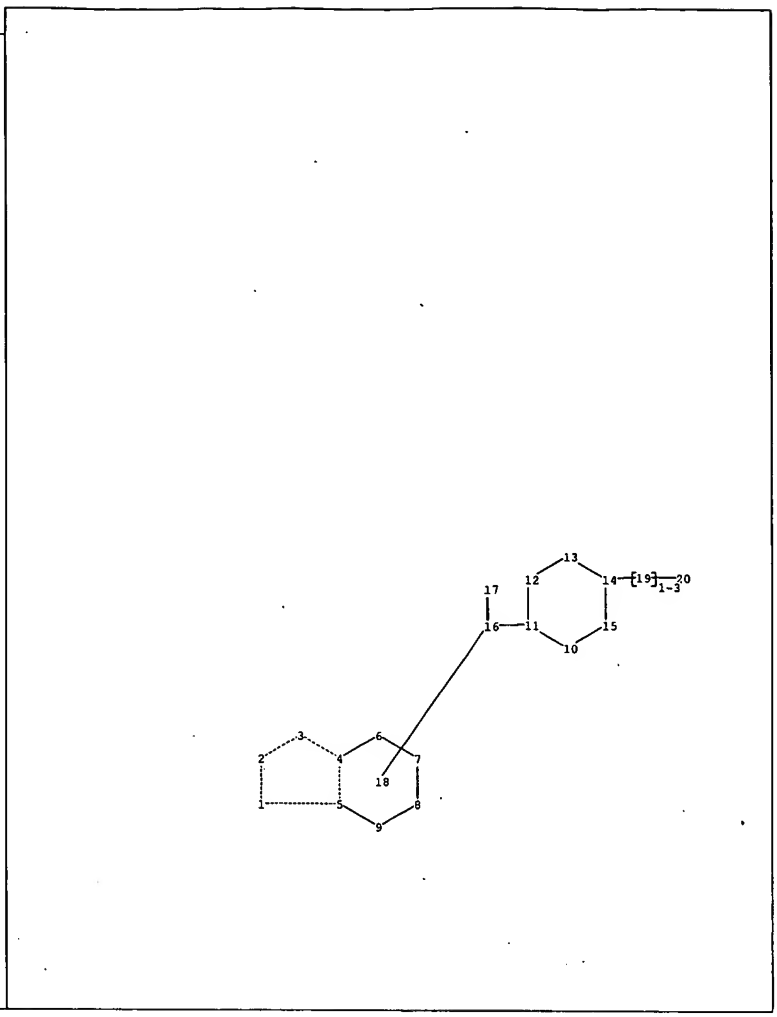

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16 17 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
11-16 14-19 16-17 19-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 11-16 12-13 13-14 14-15 14-19 16-17
19-20
normalized bonds :
4-6 5-9 6-7 7-8 8-9
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom